U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM

11/25 78

Requestor's Name: BERCH Serial Number: 03/22556

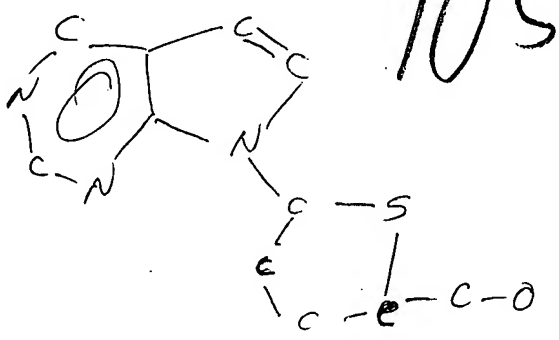
Date: 1/22/04 Phone: 308 4718 Art Unit: 1624

4E12 4D15 (Office)

Search Topic: REM 5C01 as of 2/5

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).

10520925



Done

STAFF USE ONLY

Date completed: Jarrell | @ Schulwitz

Searcher: _____

Terminal time: _____

~~Elapsed~~ PREP time: 125

CPU time: 25

Total time: _____

Number of Searches: _____

Number of Databases: _____

1 STR

Search Site

_____ STIC

_____ CM-1

_____ Pre-S

Type of Search

_____ N.A. Sequence

_____ A.A. Sequence

_____ Structure

_____ Bibliographic

Vendors

_____ IG

531 STN

1 Dialog

_____ APS

_____ Geninfo

_____ SDC

_____ DARC/Questel

_____ Other

PTO-1590 (9-90)

10520925

=> b reg
FILE 'REGISTRY' ENTERED AT 09:18:27 ON 28 JAN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 27 JAN 2004 HIGHEST RN 642407-31-6
DICTIONARY FILE UPDATES: 27 JAN 2004 HIGHEST RN 642407-31-6

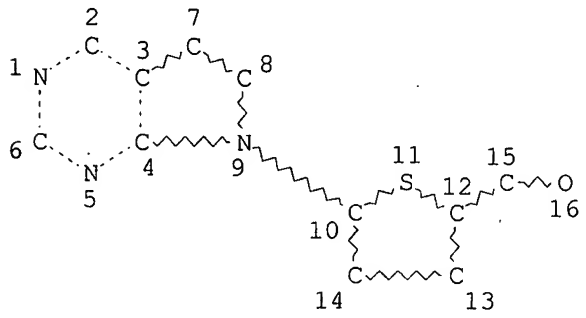
TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d que stat l16
L14 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE
L16 11 SEA FILE=REGISTRY SSS FUL L14

100.0% PROCESSED 85 ITERATIONS 11 ANSWERS
SEARCH TIME: 00.00.01

=> b cap
FILE 'CAPLUS' ENTERED AT 09:18:42 ON 28 JAN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 28 Jan 2004 VOL 140 ISS 5
FILE LAST UPDATED: 27 Jan 2004 (20040127/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

=> d que nos l17
L14 STR
L16 11 SEA FILE=REGISTRY SSS FUL L14
L17 2 SEA FILE=CAPLUS ABB=ON PLU=ON L16

=> b marpat
FILE 'MARPAT' ENTERED AT 09:20:31 ON 28 JAN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 140 ISS04)(20040123ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6667161 23 DEC 2003
DE 10317295 24 DEC 2003
EP 1371658 17 DEC 2003
JP 2003346928 05 DEC 2003
WO 2004000750 31 DEC 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

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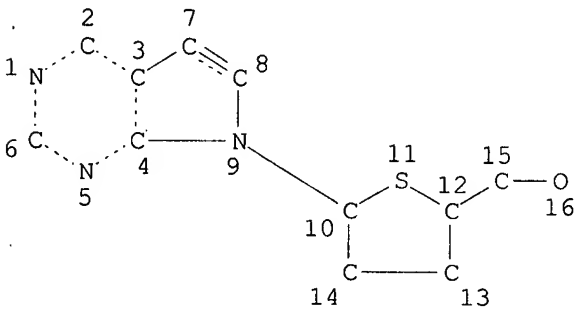
L21 STR



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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  16

STEREO ATTRIBUTES: NONE
L23          33 SEA FILE=MARPAT SSS FUL L21

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SEARCH TIME: 00.00.05


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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'MARPAT' ENTERED AT 09:21:12 ON 28 JAN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)
PROCESSING COMPLETED FOR L17
PROCESSING COMPLETED FOR L23
L24          34 DUP REM L17 L23 (1 DUPLICATE REMOVED)
                ANSWERS '1-2' FROM FILE CAPLUS
                ANSWERS '3-34' FROM FILE MARPAT

=> d ibib abs hitstr 1-2;d ibib abs qhit 3-
```

CAPLUS Answers MARPAT Answers

```
L24  ANSWER 1 OF 34  CAPLUS  COPYRIGHT 2004 ACS on STN DUPLICATE 1
ACCESSION NUMBER:         1994:183004  CAPLUS
DOCUMENT NUMBER:          120:183004
TITLE:                    Therapeutic antiviral deoxythioribonucleosides
INVENTOR(S):              Koszalka, George Walter; Van Draanen, Nanine Agneta;
                          Freeman, George Andrew; Short, Steven Andersen;
                          Slater, Martin John
PATENT ASSIGNEE(S):       Wellcome Foundation Ltd., UK
SOURCE:                   PCT Int. Appl., 39 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
     WO 9401117         A1   19940120       WO 1993-GB1387   19930701
         W:  AU, BB, BG, BR, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW,
             NO, NZ, PL, RO, RU, SD, SK, UA, US, VN
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
             BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
     AU 9345084         A1   19940131       AU 1993-45084    19930701
PRIORITY APPLN. INFO.:                     GB 1992-14170    19920702
                                           GB 1992-23181    19921105
```

WO 1993-GB1387 19930701

OTHER SOURCE(S): MARPAT 120:183004

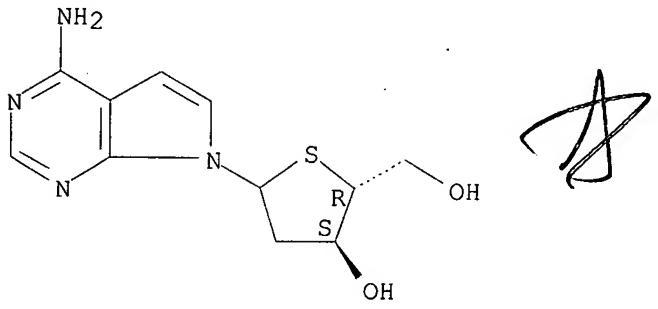
AB 2'-Deoxy-4'-thioribonucleosides and their physiol. acceptable salts, esters, or salts of such esters are useful for the manufacture of a medicament for the treatment or prophylaxis of retroviral, cytomegaloviral, varicella zoster viral, Epstein-Barr viral, human herpes virus 6, and hepatitis viral infections, including hepatitis B, coxsackie virus and hepatitis C virus infections. 2'-Deoxy-4'-thioguanosine (preparation given) inhibited hepatitis B virus with an IC50 of <0.0032 μM (74.5% inhibition) and a CCID50 of 13 μM. Formulation examples are also given.

IT **153585-20-7 153585-20-7D**, halo derivs. **153585-21-8 153585-22-9 153585-22-9D**, halo derivs. **153585-23-0**
RL: BIOL (Biological study)
(virus infection inhibition with)

RN 153585-20-7 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-(2-deoxy-4-thio-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

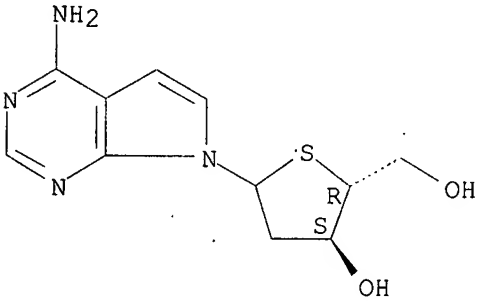
Absolute stereochemistry.



RN 153585-20-7 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 7-(2-deoxy-4-thio-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

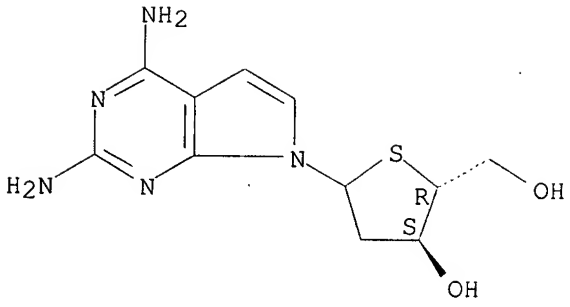
Absolute stereochemistry.



RN 153585-21-8 CAPLUS

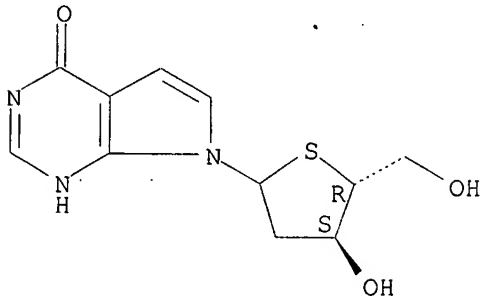
CN 7H-Pyrrolo[2,3-d]pyrimidine-2,4-diamine, 7-(2-deoxy-4-thio-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



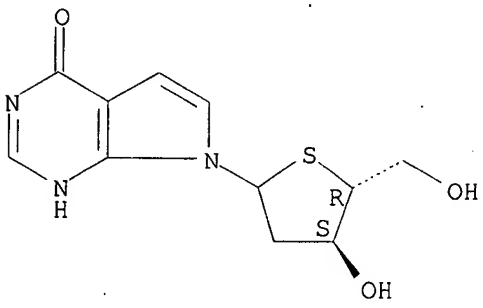
RN 153585-22-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-(2-deoxy-4-thio-D-erythro-pentofuranosyl)-1,7-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



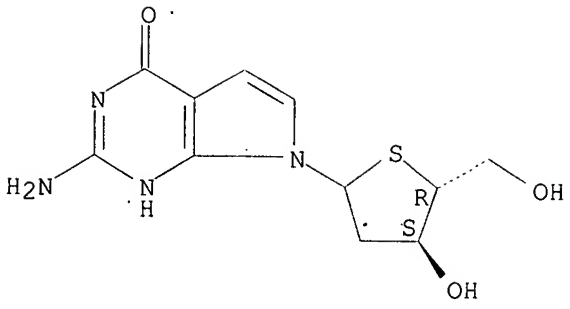
RN 153585-22-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-(2-deoxy-4-thio-D-erythro-pentofuranosyl)-1,7-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 153585-23-0 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-amino-7-(2-deoxy-4-thio-D-erythro-pentofuranosyl)-1,7-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



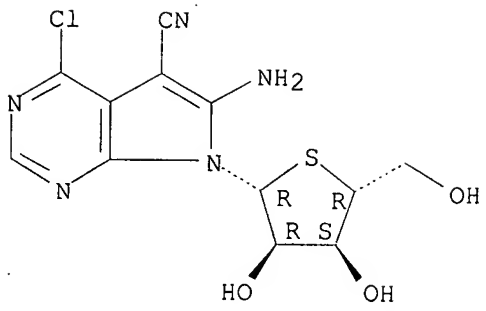
L24 ANSWER 2 OF 34 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1972:122281 CAPLUS
DOCUMENT NUMBER: 76:122281
TITLE: Synthesis and biological activity of 4'-thio analogs of the antibiotic toyocamycin
AUTHOR(S): Bobek, M.; Whistler, R. L.; Bloch, A.
CORPORATE SOURCE: Roswell Park Mem. Inst., New York State Dep. Health, Buffalo, NY, USA
SOURCE: Journal of Medicinal Chemistry (1972), 15(2), 168-71
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 4'-Thiotoyocamycin (I) [**34635-46-6**] was prepared by condensation of 2,3,5-tri-O-acetyl-4-thio-D-ribofuranosyl chloride with 4-acetamido-6-bromo-7-chloromercuri-5-cyanopyrrolo[2,3-d]pyrimidine (II), followed by removal of the protecting groups with MeOH-NH3 and removal of Br with H2/Pd catalyst. Also prepared were 4-chloro-6-amino-5-cyano-7-(4-thio-β-D-ribofuranosyl)pyrrolo[2,3-d]pyrimidine (III) [**34597-47-2**], and 4,6-diamino-5-cyano-7-(4-thio-β-D-ribofuranosyl)pyrrolo[2,3-D]pyrimidine (IV) [**34597-48-3**]. The 4'-thio derivs. were effective inhibitors of the growth of leukemia L-1210 cells in vitro at 4 .tim. 10-7 to 5 .tim. 10-6M (50% growth reduction). I retained full inhibitory activity against Streptococcus faecium resistant to 10-3M toyocamycin [606-58-6].

IT **34597-47-2 34597-48-3 34635-46-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(biol. activity of)

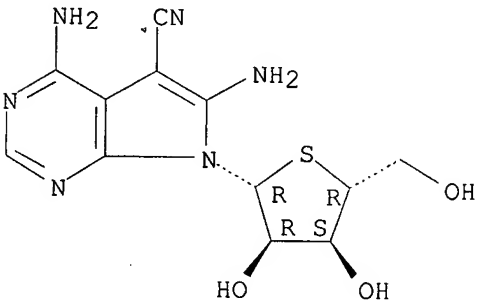
RN 34597-47-2 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 6-amino-4-chloro-7-(4-thio-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



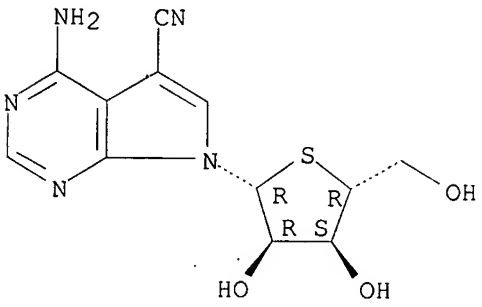
RN 34597-48-3 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4,6-diamino-7-(4-thio-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



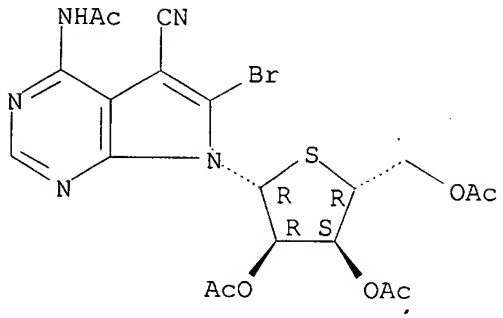
RN 34635-46-6 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4-amino-7-(4-thio-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



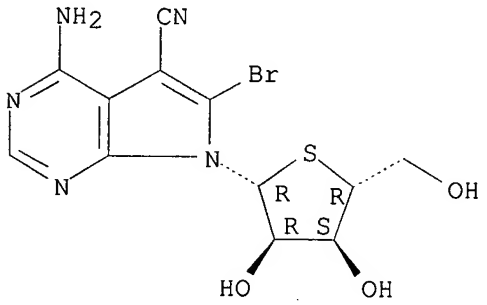
IT **36341-45-4P 36341-46-5P 36341-47-6P 36341-48-7P**
RL: PREP (Preparation)
(preparation of)
RN 36341-45-4 CAPLUS
CN Acetamide, N-[6-bromo-5-cyano-7-(2,3,5-tri-O-acetyl-4-thio-β-D-ribofuranosyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



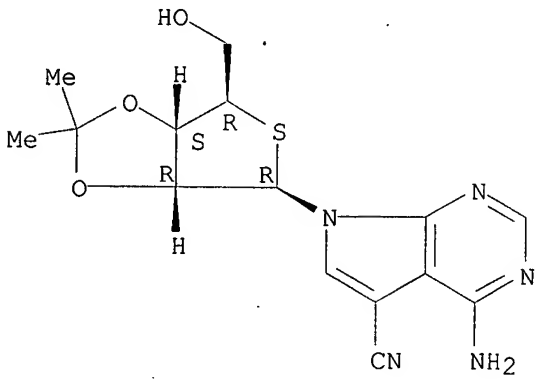
RN 36341-46-5 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4-amino-6-bromo-7-(4-thio-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



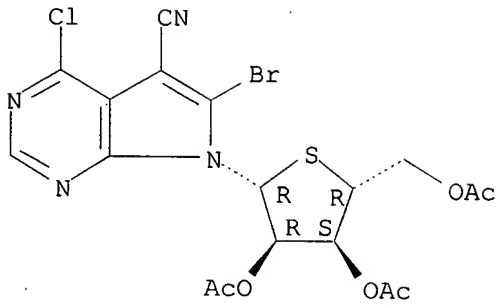
RN 36341-47-6 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4-amino-7-[2,3-O-(1-methylethylidene)-4-thio-β-D-ribofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 36341-48-7 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 6-bromo-4-chloro-7-(2,3,5-tri-O-acetyl-4-thio-β-D-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



YOU HAVE REQUESTED DATA FROM 32 ANSWERS - CONTINUE? Y/(N):y

L24 ANSWER 3 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 139:133787 MARPAT
TITLE: Preparation of deazapurine nucleoside analogs as antiviral agents
INVENTOR(S): An, Haoyun; Ding, Yili; Chamakura, Varaprasad; Hong, Zhi
PATENT ASSIGNEE(S): Ribapharm Inc., USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003061576	A2	20030731	WO 2003-US1545	20030117

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-350296P 20020117
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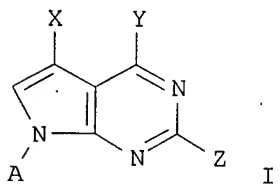
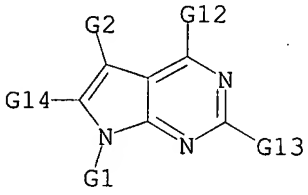
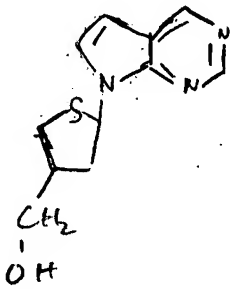


I

AB Methods, compns., and uses for various deazapurine nucleoside libraries and library compds. I are provided. Particularly preferred deazapurine nucleosides include 7-deazapurine nucleosides, 7-deaza-8-azapurine nucleosides, toyocamycin nucleoside analogs, 3-deazapurine nucleosides, and 9-deazapurine nucleosides, while preferred uses especially include use of such compds. as pharmacol., and particularly antiviral agents. 4-N,N-dimethylamino-7-(β-D-ribofuranosyl)pyrrolo[2,3-d]pyrimidine-5-N-hydroxycarbamidine was prepared and tested in vitro as antiviral agent.

MSTR 1





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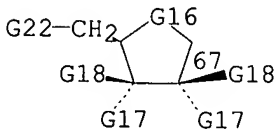


G16 = S
G22 = OH
MPL: claim 1
NTE: also incorporates claim 5
NTE: substitution is restricted

L24 ANSWER 4 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 138:379194 MARPAT
TITLE: Ribonucleoside analogs for inhibition of RNA viruses
INVENTOR(S): Loakes, David; Brown, Daniel; Balzarini, Jan; Moriyama, Kei; Negishi, Kazuo; Cameron, Craig; Arnold, Jamie; Castro, Christian; Korneeva, Victoria; Graci, Jason
PATENT ASSIGNEE(S): Medical Research Council, UK
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003039450	A2	20030515	WO 2002-GB5031	20021107
WO 2003039450	A3	20030821		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

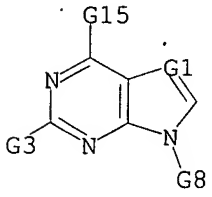
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

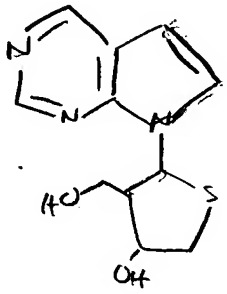
US 2003130226 A1 20030710

PRIORITY APPLN. INFO.: US 2002-207005 20020730
GB 2001-26701 20011107
US 2002-207005 20020730

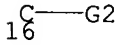
AB The invention discloses pharmaceutical compns. containing ribonucleoside analogs, in admixt. with a physiol. acceptable excipient diluent or carrier. The ribonucleoside analogs of the invention inhibit the replication and/or increase the mutation rate of an RNA virus. Preparation of analogs is described. The compds. may be used to treat viral infections in animals, including humans, and plants.

MSTR 1

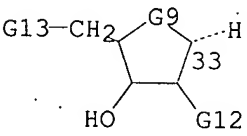




G1 = 16

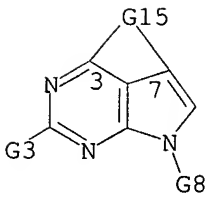


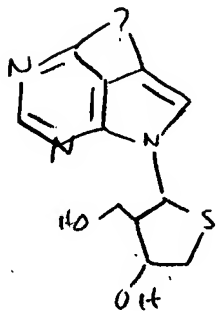
G8 = 33



G9 = S
G13 = OH
MPL: claim 1

MSTR 2





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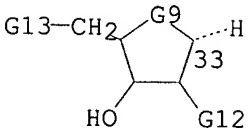


G9 = S
G13 = OH
MPL: claim 1

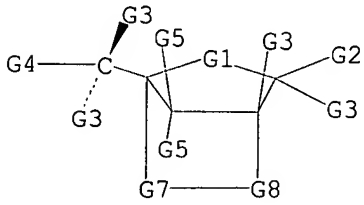
L24 ANSWER 5 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 138:249716 MARPAT
TITLE: LNA containing base substitutions for use in hybridization and amplification processes
INVENTOR(S): Wengel, Jesper; Kauppinen, Sakari
PATENT ASSIGNEE(S): Exiqon A/S, Den.
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020739	A2	20030313	WO 2002-IB3911	20020904
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003224377	A1	20031204	US 2002-235683	20020904
PRIORITY APPLN. INFO.:			US 2001-317034P	20010904
			US 2001-323967P	20010922

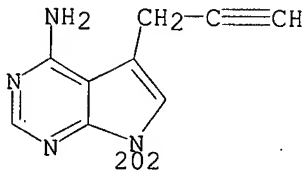
AB Modified LNA units are provided that comprise unique base groups. Desirable nucleobase and nucleosidic base substitutions, such as 1-pyrenyl groups, can mediate universal hybridization when incorporated into nucleic acid strands. LNA units containing the base substitutions will exhibit substantially constant Tm values upon hybridization with a complementary oligonucleotide irresp. of the bases present in the base

substitute-complementary position. The novel LNA compds. may be used in a wide variety of applications, such as PCR primers, sequencing, synthesis of antisense oligonucleotides, hybridization probes for diagnostics and the like. Thus, the hybridization behavior of LNA containing various base substitutions (such as Ph, pyrenyl, naphthyl, etc.) is analyzed. Two examples of modified LNA units and their uses are described, i.e., use of pyrene-containing LNA-anchored oligo(T) primers to improve reverse transcription, and use of pyrene-containing LNA degenerate oligonucleotide primers for PCR screening of glycohydrolase family 45 genes in bacteria, Archaea, and fungi.

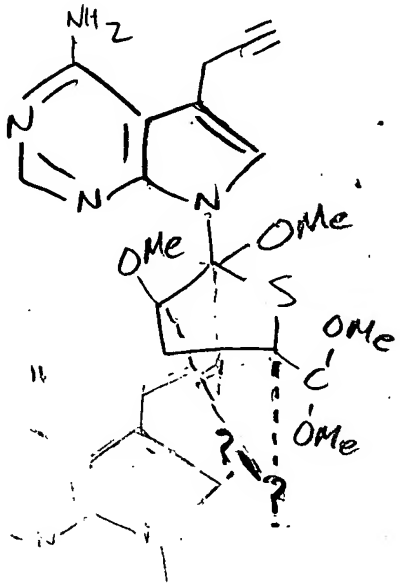
MSTR 1



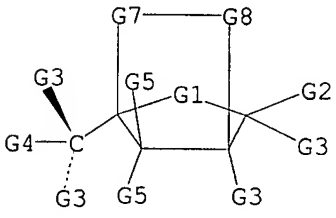
G1 = S
G2 = 202



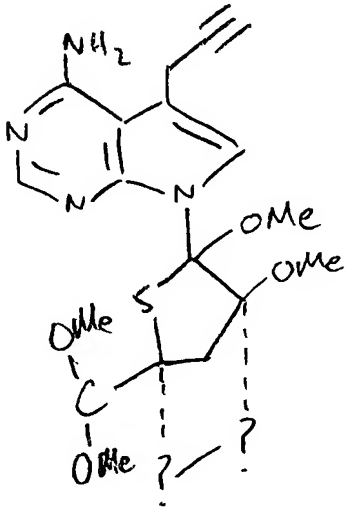
G3 = OMe
MPL: claim 1

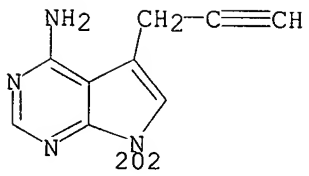


MSTR 2



G1 = S
G2 = 202



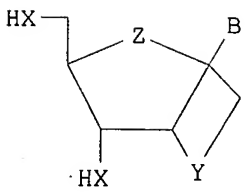


G3 = OMe
MPL: claim 1

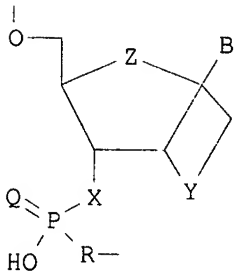
L24 ANSWER 6 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 136:355426 MARPAT
TITLE: Preparation of modified nucleosides and nucleotides and use thereof
INVENTOR(S): Chattopadhyaya, Jyoti
PATENT ASSIGNEE(S): Swed.
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002038578	A1	20020516	WO 2001-SE2484	20011109
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002014477	A5	20020521	AU 2002-14477	20011109
EP 1332150	A1	20030806	EP 2001-983021	20011109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2000-247399P	20001109
			US 2001-308063P	20010725
			WO 2001-SE2484	20011109

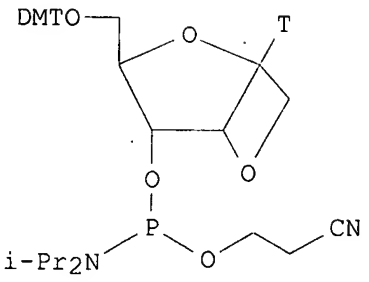
GI



I



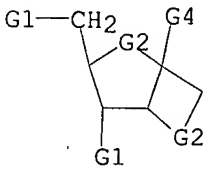
II



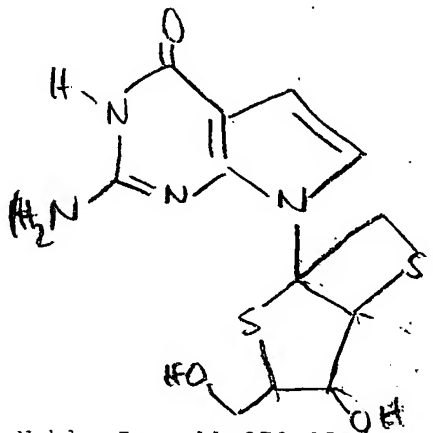
III

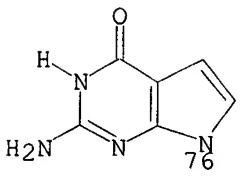
AB The present invention relates to the preparation of modified nucleotides and nucleosides I and II wherein Q = O, S; X = O, S, NH, NCH3, CH2, CHMe, Y = O, S, NH, NCH3, CH2, CHMe; Z = O, S, NH, NCH3, CH2, CHMe; R = O, S, NH, NCH3, CH2, CHMe; B = A, C, G, T; 5-F/Cl/BrU, 6-thioguanine, 7-deazaguanine; α- or β-D-(or L)ribo, xylo, arabino or lyxo configuration. The modified nucleotides and nucleotides are assembled to larger oligonucleotides and oligonucleosides, which, for example, may be used for diagnostics of polymorphisms and for antisense therapy of various conditions (no data). The oligonucleotides and oligonucleosides described in the invention have very good endonuclease resistance without compromising the RNA cleavage properties of RNase H. Thus, nucleoside phosphoramidite III was prepared and incorporated into oligonucleosides useful as endonuclease resistance without compromising the RNA cleavage properties of RNase H.

MSTR 1



G1 = OH
G2 = S
G4 = 76





MPL: claim 1

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

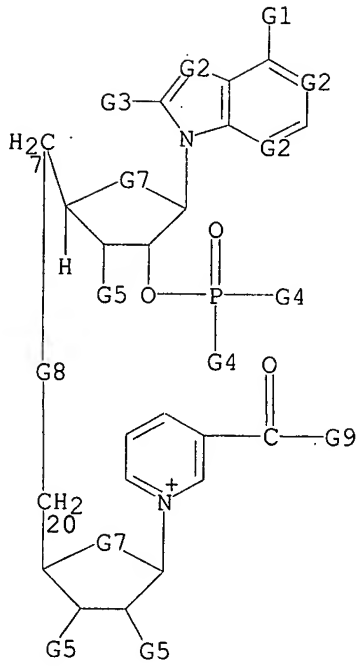
L24 ANSWER 7 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 136:177974 MARPAT
TITLE: Nicotinic acid adenine dinucleotide phosphate (NAADP) analogs for modulating T-cell activity
INVENTOR(S): Potter, Barry V. L.; Guse, Andreas H.; Mayr, Georg W.; Berg, Ingeborg
PATENT ASSIGNEE(S): University of Bath, UK
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

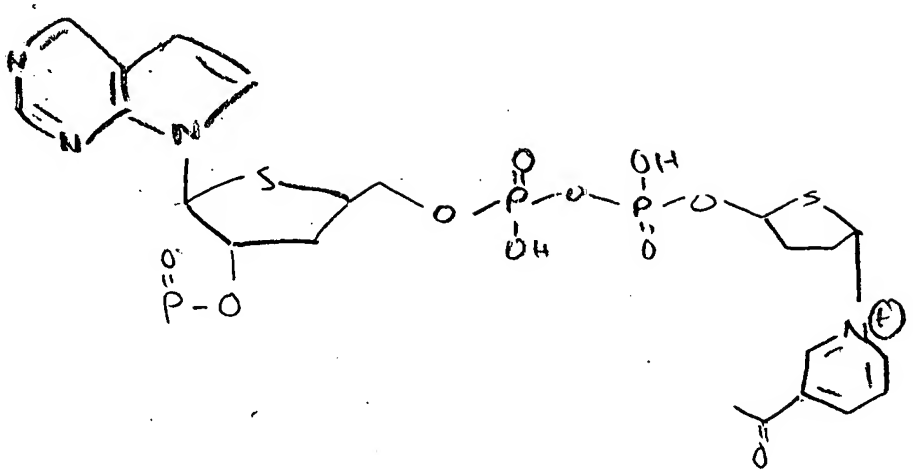
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002011736	A1	20020214	WO 2001-GB3440	20010731
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001075732	A5	20020218	AU 2001-75732	20010731
EP 1305035	A1	20030502	EP 2001-953243	20010731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: GB 2000-19234 20000804
WO 2001-GB3440 20010731

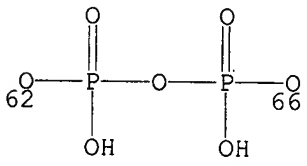
AB A method for modulating T cell activity by modulating the intracellular concentration and/or activity of NAADP+, compds. capable of modulating the effect of NAADP+ on T cell Ca+2 levels, and methods for identifying such compds., are described. Preparation of 8-bromo-nicotinic acid adenine dinucleotide phosphate is described.

MSTR 1





G2 = CH / N
G7 = S
G8 = 62-7 66-20



MPL: claim 13.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 8 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 136:232504 MARPAT
TITLE: Preparation and immunomodulating effects at reduced cytotoxicity of pyrrolo[2,3-d]pyrimidine nucleoside analogs as antitumors
INVENTOR(S): Tam, Robert; Wang, Guangyi; Lau, Johnson; Hong, Zhi
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 50 pp., Cont.-in-part of Appl. No. PCT/US00/22674.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 2002035077 A1 20020321 US 2001-797549 20010228
WO 2001027114 A1 20010419 WO 2000-US22674 20000817
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
WO 2002100354 A2 20021219 WO 2002-US6347 20020228
WO 2002100354 A3 20030313
WO 2002100354 C1 20030710
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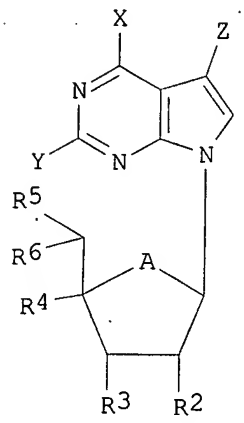
EP 1363581 A2 20031126 EP 2002-763190 20020228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI; RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.: US 1999-151233P 19990827
US 2000-182676P 20000215
WO 2000-US22674 20000817
US 2001-797549 20010228
WO 2002-US6347 20020228

GI

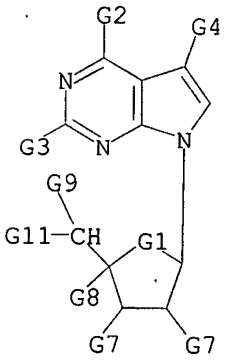


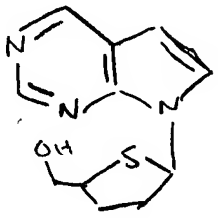
I

AB Compns. and methods for pyrrolo[2,3-d]pyrimidine nucleoside analogs I wherein A is O, S, or CH2; X is H, NH2 or OH; Y is H, halogen or NH2; Z is selected from the group consisting of H, halogen, R, OH, OR, SH, SR, NH2, NHR, NR2, CN, C(O)NH2, COOH, COOR, CH2NH2, C(=NOH)NH2, and C(=NH)NH2, where R is alkyl, alkenyl, alkynyl, or aralkyl; R2 and R3 are independently selected from the group consisting of H, F, and OH; R4 is

selected from the group consisting of a hydrogen, an alkyl, an alkenyl, an alkynyl, and an aralkyl, wherein R4 optionally has at least one of a heteroatom and a functional group; R5 is OH, OP(O)(OH)2, P(O)(OH)2, OP(O)(OR')2, or P(O)(OR')2, wherein R' is a masking group; and R6 is selected from the group consisting of an alkyl, an alkenyl, an alkynyl, and an aralkyl, wherein R6, has at least two carbon atoms, and optionally has at least one of a heteroatom and a functional group, having substituents at the C4' and C5' positions of the ribofuranose moiety are presented. Contemplated compns. exhibit, among other things, anti-cancer and immunomodulating effects at reduced cytotoxicity. Thus, I (A = O; R2-R4 = OH; R5 = = R6 = Me; Z = CN; X = NH2; Y = H) (II) was prepared and tested for its immunomodulating effect at reduced cytotoxicity as antitumor. Inhibition of vascular endothelial growth factor (VEGF) release in HTB 81 cells treated with II and inhibition of IL-8 release in HTB 81 cells at 0-50 uM are reported.

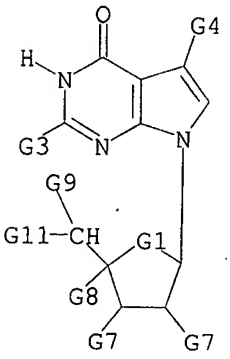
MSTR 1

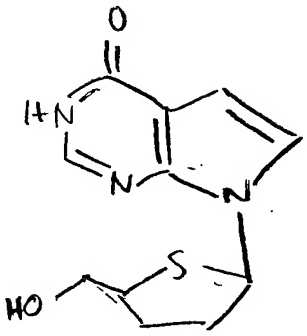




G1 = S
G9 = OH
MPL: claim 1

MSTR 2



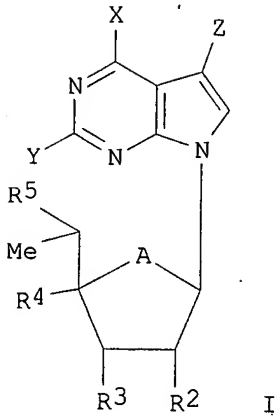


G1 = S
G9 = OH
MPL: claim 3

L24 ANSWER 9 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 134:281074 MARPAT
TITLE: Preparation and immunomodulating effects at reduced cytotoxicity of pyrrolo[2,3-d]pyrimidine nucleoside analogs as antitumors
INVENTOR(S): Wang, Guangyi; Tam, Robert; Pietrzkowski, Zbigniew
PATENT ASSIGNEE(S): ICN Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027114	A1	20010419	WO 2000-US22674	20000817
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000013642	A	20020507	BR 2000-13642	20000817
EP 1212326	A1	20020612	EP 2000-959267	20000817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
SI 20819	C	20020831	SI 2000-20035	20000817
JP 2003511454	T2	20030325	JP 2001-530332	20000817
US 2002035077	A1	20020321	US 2001-797549	20010228
ZA 2002001567	A	20030526	ZA 2002-1567	20020225
NO 2002000931	A	20020226	NO 2002-931	20020226
PRIORITY APPLN. INFO.:			US 1999-151233P	19990827
			US 2000-182676P	20000215
			WO 2000-US22674	20000817

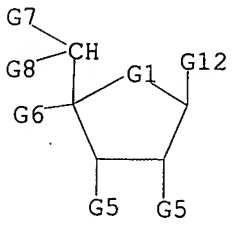
GI



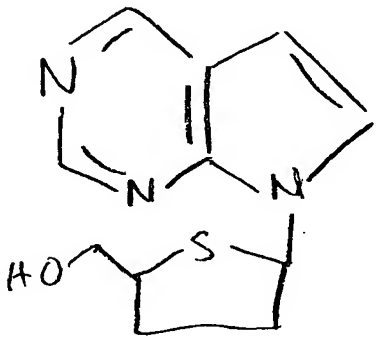
I

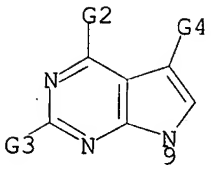
AB Compns. and methods for pyrrolo[2,3-d]pyrimidine nucleoside analogs I wherein A is O, S, or CH2; X is H, NH2 or OH; Y is H, halogen or NH2; Z is selected from the group consisting of H, halogen, R, OH, OR,SH, SR, NH2, NHR, NR2, CN, C(O)NH2, COOH, COOR, CH2NH2, C(=NOH)NH2, and C(=NH)NH2, where R is alkyl, alkenyl, alkynyl, or aralkyl; R2 and R3 are independently selected from the group consisting of H, F, and OH; R4 is selected from the group consisting of a hydrogen, an alkyl, an alkenyl, an alkynyl, and an aralkyl, wherein R4 optionally has at least one of a heteroatom and a functional group; R5 is OH, OP(O)(OH)2, P(O)(OH)2, OP(O)(OR')2, or P(O)(OR')2, wherein R' is a masking group; and R5' is selected from the group consisting of an alkyl, an alkenyl, an alkynyl, and an aralkyl, wherein Rs, has at least two carbon atoms, and optionally has at least one of a heteroatom and a functional group, having substituents at the C4' and C5' positions of the ribofuranose moiety are presented. Contemplated compns. exhibit, among other things, anti-cancer and immunomodulating effects at reduced cytotoxicity. Thus, I (R2-R4 = OH; R5 = Me; Z = CN; X = NH2; Y = H) (II) was prepared and tested for its immunomodulating effect at reduced cytotoxicity as antitumor. Inhibition of VEGF release in HTB 81 cells treated with II and inhibition of IL-8 release in HTB 81 cells at 0-50 uM are reported.

MSTR 1



G1 = S
G7 = OH
G12 = 9





MPL: claim 1
NTE: also incorporates claim 3

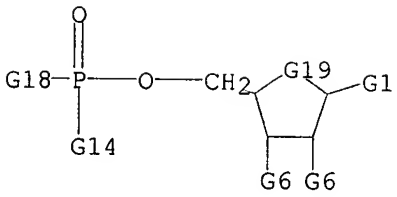
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 10 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 131:267028 MARPAT
TITLE: Nucleosides with antiviral and anticancer activity, and preparation thereof
INVENTOR(S): Wagner, Carston R.; Griesgraber, George W.
PATENT ASSIGNEE(S): Regents of the University of Minnesota, USA
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

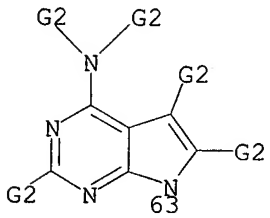
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9949873	A1	19991007	WO 1999-US6467	19990326
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2326535	AA	19991007	CA 1999-2326535	19990326
AU 9933634	A1	19991018	AU 1999-33634	19990326
US 6475985	B1	20021105	US 2000-647206	20000927
PRIORITY APPLN. INFO.:			US 1998-79570P	19980327
			WO 1999-US6467	19990326

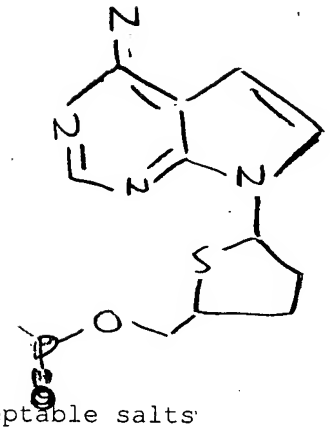
AB The invention provides nucleoside derivs. (Markush included) which possess antiviral and anticancer activity. Treatment of breast cancer is a preferred embodiment. Preparation and activity of e.g. 3-azido-3-deoxythymidine-5-methoxy-L-tryptophanyl phosphoramidate is included.

MSTR 1



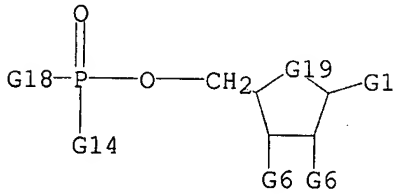
G1 = 63



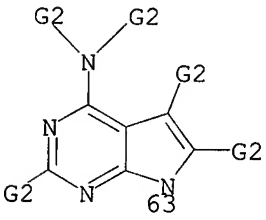


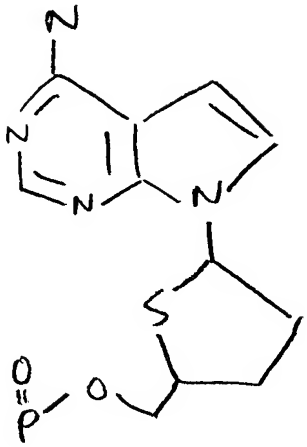
G19 = S
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: also incorporates claim 96
NTE: substitution is restricted

MSTR 2



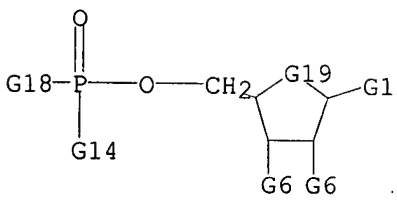
G1 = 63



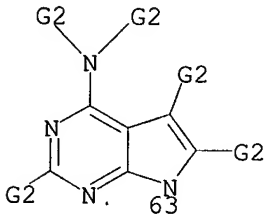


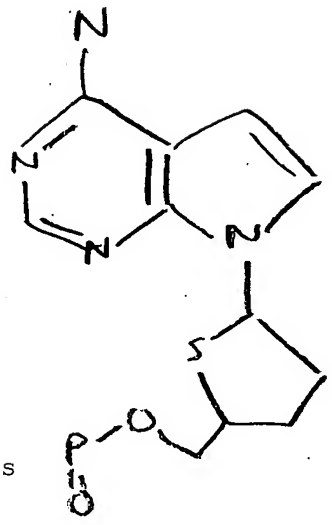
G19 = S
DER: or pharmaceutically acceptable salts
MPL: claim 53
NTE: also incorporates claim 95
NTE: substitution is restricted

MSTR 3



G1 = 63





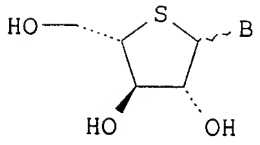
G19 = S
DER: or pharmaceutically acceptable salts
MPL: claim 75
NTE: also incorporates claim 97
NTE: substitution is restricted

L24 ANSWER 11 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 131:185193 MARPAT
TITLE: Preparation of L-4'-arabinofuranonucleosides as antiviral agents for hepatitis virus
INVENTOR(S): Sato, Hiroshi; Yoshimura, Yuichi; Ashida, Noriyuki; Sudo, Kenji; Yokota, Tomoyuki
PATENT ASSIGNEE(S): Rational Drug Design Laboratories, Japan
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943690	A1	19990902	WO 1999-JP827	19990224

W: CA, CN, JP, KR, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

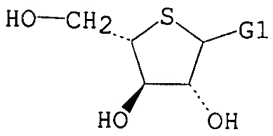
PRIORITY APPLN. INFO.: JP 1998-43893 19980225
GI



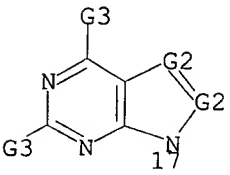
I

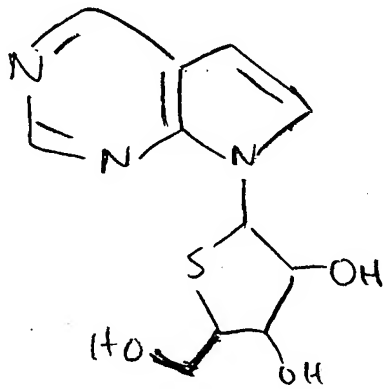
AB Disclosed are L-4'-thioarabinofuranonucleosides represented by formula (I; wherein B represents a nucleic acid base selected from among pyrimidine, purine, azapurine and deazapurine, each of which may be substituted with a halogen atom, an alkyl group, a haloalkyl group, an alkenyl group, a haloalkenyl group, an alkynyl group, an amino group, an alkylamino group, a hydroxyl group, a hydroxyamino group, an aminoxy group, an alkoxy group, a mercapto group, an alkylmercapto group, an aryl group, an aryloxy group, or a cyano group) and a medicine composition comprising the compound as an active component, especially antihepatitis virus composition Thus, to a solution of 459 mg N4-acetylcytosine in 10 mL MeCN was added 860 μL bis(trimethylsilyl)acetamide (BSA), refluxed for 5.5 h, distilled in vacuo. The residue was dissolved in 5 mL MeCN, followed by adding 1-O-acetyl-2,3,5-tri-O-benzyl-4-thio-L-arabinose. To the resulting solution was added 290 μL trimethylsilyl triflate and stirred at room temperature for 1.5 h to give, after workup and silica gel chromatog., 78% protected nucleoside. The latter nucleoside (417 mg) was dissolved in 10 mL CH2Cl2, cooled to -78°, and treated dropwise with a 1 M solution of BCl3 in CH2Cl2 (4.38 mL), and stirred at -78° for 30 min and -20° for 3 h to give, after workup and silica gel chromatog., α- and β-(L-4'-thioarabinofuranosyl)cytosine in 34 and 19% yield, resp. α-(L-4'-Thioarabinofuranosyl)cytosine and 2,6-diamino-(β-L-4'-thioarabinofuranosyl)purine inhibited the expression of HBV gene introduced in human liver cancer HB611 cells with EC50 of 15.3 and 4.37 μg/mL, resp.

MSTR 1



G1 = 17





G2 = CH (SO (1-) G4)
MPL: claim 1

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS

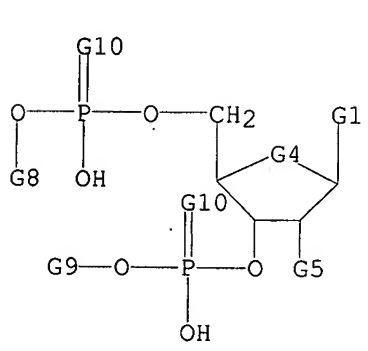
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

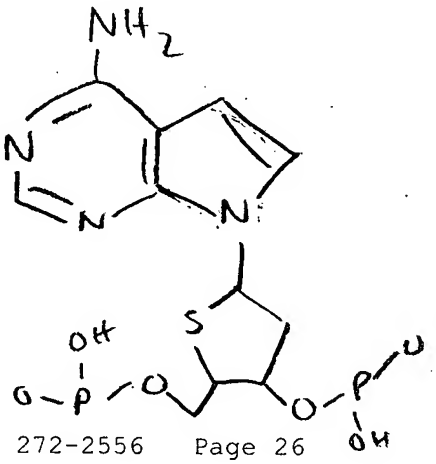
L24 ANSWER 12 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 130:92125 MARPAT
TITLE: Hammerhead ribozymes with extended cleavage specificity
INVENTOR(S): Ludwig, Janos; Sproat, Brian S.
PATENT ASSIGNEE(S): Innovir Laboratories, Inc., USA
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9858058	A1	19981223	WO 1998-US12663	19980617
W: AU, CA, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9879761	A1	19990104	AU 1998-79761	19980617
EP 1019497	A1	20000719	EP 1998-930352	19980617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002510207	T2	20020402	JP 1999-504776	19980617
PRIORITY APPLN. INFO.:			US 1997-878640	19970619
			WO 1998-US12663	19980617

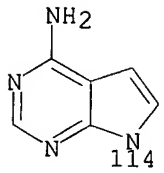
AB Disclosed are compns. having an RNA-cleavage activity, as well as their use for cleaving RNA-substrates in vitro and in vivo. The compns. contain an active center, the subunits of which are selected from nucleotides and/or nucleotide analogs, as well as flanking regions contributing to the formation of a specific hybridization with an RNA substrate. Preferred compns. form, in combination with an RNA substrate, a structure resembling a hammerhead structure. Gerlach-type ribozyme analogs containing an inosine at position 15.1 (numbered according to the standard nomenclature of Hertel et al. (1992)) readily cleave RNA substrates containing an N16.2C16.1H17 triplet. It is preferred that H17 is not guanosine. The ability to cleave substrates having N16.2C16.1H17 triplets effectively doubles the number of targets available for cleavage by compns. of the type disclosed. Catalytic ribozymes are designed for cleave of hepatitis C virus RNA, human interleukin-2 mRNA, rat dopamine D2 receptor mRNA, and human ICAM-1 mRNA.

MSTR 1





G1 = 114



G4 = S
MPL: claim 1

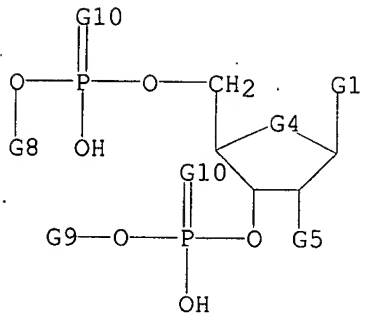
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 13 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 130:91249 MARPAT
TITLE: Inosine-containing Gerlach-type ribozyme analogs and their use in research and disease treatment
INVENTOR(S): Ludwig, Janos; Sproat, Brian S.
PATENT ASSIGNEE(S): Innovir Laboratories, Inc., USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

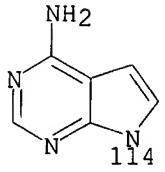
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9858057	A1	19981223	WO 1998-US12570	19980616
W: AU, CA, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6300483	B1	20011009	US 1997-879078	19970619
AU 9879728	A1	19990104	AU 1998-79728	19980616
US 2002161209	A1	20021031	US 2001-969423	20011002
PRIORITY APPLN. INFO.:			US 1997-879078	19970619
			WO 1998-US12570	19980616

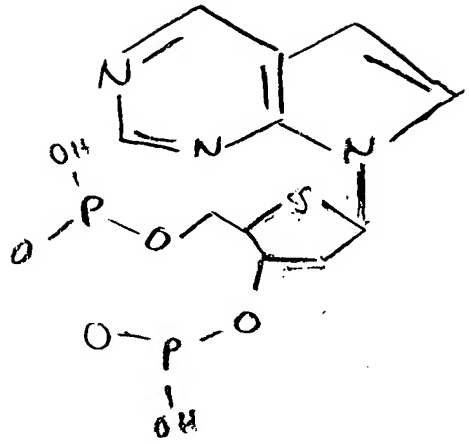
AB Disclosed are compns. inducing cleavage of an RNA substrate, as well as their use for inducing cleavage of RNA substrates in vitro and in vivo. The compns. contain part of an active center, with the other part of the active center provided by the RNA substrate. The subunits of the active center region of the compns. are nucleotides and/or nucleotide analogs. The disclosed compns. also have flanking regions contributing to the formation of a specific hybridization with an RNA substrate. Preferred compns. form, in combination with an RNA substrate, a structure resembling a hammerhead structure. These Gerlach-type ribozyme analogs contain an active center characterized by the presence of I15.1 which allows cleavage of RNA substrates containing N16.2C16.1H17 triplets.

MSTR 1



G1 = 114





G4 = S
MPL: claim 1

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 14 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 128:295004 MARPAT
TITLE: Preparation of purine L-nucleosides as modulators of Th1 and Th2 lymphokines
INVENTOR(S): Wang, Guangyi; Tam, Robert; Avertt, Deveron
PATENT ASSIGNEE(S): ICN Pharmaceuticals, USA; Wang, Guangyi; Tam, Robert; Avertt, Deveron
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9816184	A2	19980423	WO 1997-US18387	19971015
WO 9816184	A3	19980528		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2323791	AA	19980423	CA 1997-2323791	19971015
AU 9748999	A1	19980511	AU 1997-48999	19971015
AU 727177	B2	20001207		
CN 1233254	A	19991027	CN 1997-198831	19971015
EP 961775	A2	19991208	EP 1997-911684	19971015

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

SI 20024	C	20000229	SI 1997-20067	19971015
BR 9714349	A	20001114	BR 1997-14349	19971015
EP 1072607	A2	20010131	EP 2000-118428	19971015
EP 1072607	A3	20010912		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

NZ 505553	A	20011130	NZ 1997-505553	19971015
NZ 505554	A	20011130	NZ 1997-505554	19971015
JP 2001524936	T2	20011204	JP 1998-518475	19971015
JP 2002105096	A2	20020410	JP 2001-110027	19971015
RU 2183639	C2	20020620	RU 1999-109467	19971015
CA 2322053	AA	19980716	CA 1998-2322053	19980113
EP 1103559	A1	20010530	EP 2000-118252	19980113

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

NZ 505531	A	20010831	NZ 1998-505531	19980113
JP 2002080490	A2	20020319	JP 2001-155321	19980113
EP 1277759	A1	20030122	EP 2002-21843	19980113

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

NZ 505530	A	20030228	NZ 1998-505530	19980113
EP 1329220	A1	20030723	EP 2003-8818	19980113

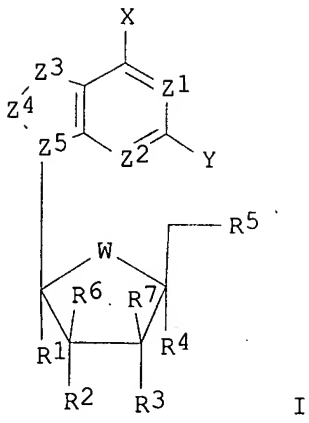
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

ZA 9806641	A	20000124	ZA 1998-6641	19980724
NO 9901784	A	19990615	NO 1999-1784	19990415
KR 2000049181	A	20000725	KR 1999-703276	19990415
US 6455690	B1	20020924	US 2000-594647	20000615
US 6509320	B1	20030121	US 2000-594271	20000615
US 6479463	B1	20021112	US 2000-595364	20000616
HR 2000000421	A1	20001231	HR 2000-421	20000623
HR 20000421	B1	20020630		
AU 751742	B2	20020829	AU 2000-45137	20000705
CN 1286258	A	20010307	CN 2000-122458	20000726
CN 1296011	A	20010523	CN 2000-122459	20000726
NO 2000004326	A	19990615	NO 2000-4326	20000831
NO 2000004328	A	19990615	NO 2000-4328	20000831
US 2002058635	A1	20020516	US 2001-21772	20011030

PRIORITY APPLN. INFO.:

US 1996-28586P	19961016
US 1997-43974P	19970423
US 1997-55487P	19970812
US 1997-36094P	19970117
CA 1997-2266889	19971015
EP 1997-911684	19971015
JP 1998-518475	19971015
NZ 1997-505553	19971015
WO 1997-US18387	19971015
CA 1998-2278158	19980113
EP 1998-903474	19980113
JP 1998-531245	19980113
NZ 1998-336350	19980113
WO 1998-US634	19980113
US 1999-291907	19990414
US 1999-462714	19990709

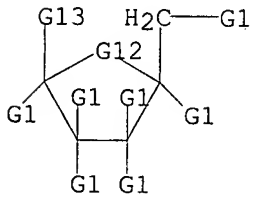
GI

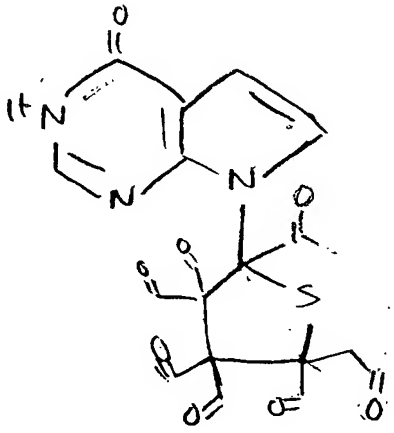


I

AB Purine L-nucleosides I (R1-R7 = independently H, OH, NH2, halogen, N3, CN, alkoxy, amine, NHNH2, NHOH, CHO, ester, amide, alkyl, alkenyl, alkynyl, aryl, aralkyl; W = O, S, CH2, Se; Z1, Z2 = C, N, CH; Z3-Z5 = independently alkenyl, imine, O, S, Se, CO, CS, SO, N2; X, Y = independently H, OH, NH2, halogen, N3, SNH2, SONH2, SO2NH2, CN, ester, amide, alkoxy, NH2NH2, NHOH, alkyl, alkenyl, alkynyl, aryl, aralkyl) were prepared as modulators of Th1 and Th2 lymphokines. The novel compds. or pharmaceutically acceptable esters or salts thereof may be used in pharmaceutical compns., and such compns. may be used to treat an infection, and infestation, a neoplasm, or an autoimmune disease. The novel compds. may also be used to modulate aspects of the immune system, including modulation of Th1 and Th2. Thus, 8-allyloxy-β-L-guanosine was prepared and tested in vitro on IL-2 TNFα, IFN-γ, IL-4, and IL-5.

MSTR 1

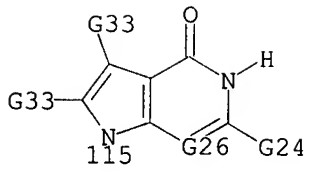




G1 = 20

20 C(O)G6

G7 = O
G12 = S
G13 = 115



G26 = N
MPL: claim 1

L24 ANSWER 15 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 130:66739 MARPAT
TITLE: Preparation of modified oligodeoxyribonucleotide duplexes as virucides
INVENTOR(S): Seela, Frank; Thomas, Horst
PATENT ASSIGNEE(S): Hoechst Aktiengesellschaft, Germany
SOURCE: U.S., 29 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5844106	A	19981201	US 1995-554164	19951106
US 6150510	A	20001121	US 1998-144112	19980831
US 6479651	B1	20021112	US 2000-643233	20000822
US 2003096981	A1	20030522	US 2002-222825	20020819
PRIORITY APPLN. INFO.:			DE 1994-4438918	19941104
			US 1995-554164	19951106
			US 1998-144112	19980831
			US 2000-643233	20000822

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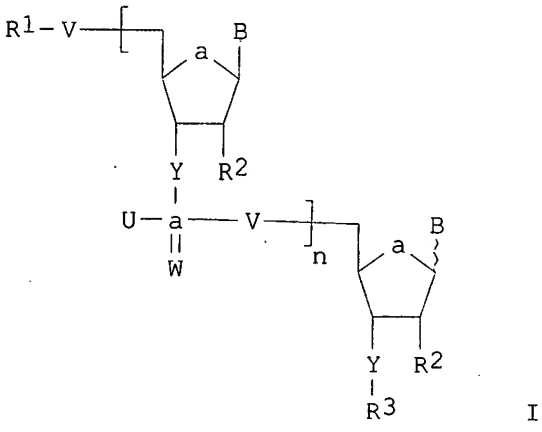
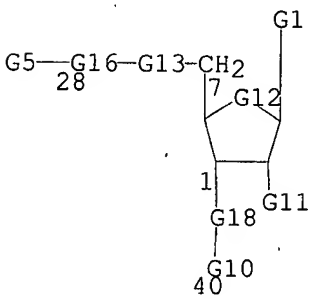


I

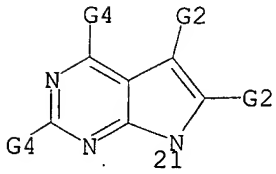
AB Modified oligodeoxyribonucleotides I (B = substituted nucleobase, R1 = H, alkyl, alkenyl, alkynyl, alkylcarbonyl, alkenylcarbonyl, alkylnylcarbonyl; R2 = H,, OH, alkoxy, alkenyloxy, halo, azido, NH2; a = oxy, sulfanediyl,

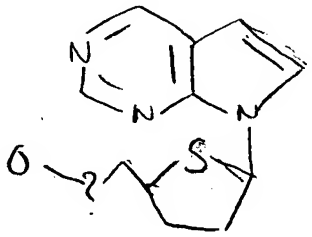
methylene; n = 1 or higher; W = oxo, thioxo, selenoxo; V = oxy, sulfanediyl, imino; Y = oxy, sulfanediyl, imino, methylene) which possess at least one substituted 7-deazapurine base form more stable hybridization complexes with nucleic acids than unsubstituted analogs were prepared as virucides. They are useful as inhibitors of gene expression, as probes for detecting nucleic acids, as aids in mol. biol. and as pharmaceuticals or diagnostic agents. Thus, 2-amino-7-(2-deoxy-β-D-erythropentofuranosyl)-5-(1-hexynyl)-3,7-dihydro-4H-pyrrolo[2,3-d]pyrimidin-4-one was prepared and incorporated into oligodeoxyribonucleotide duplex. These compds. were tested against herpes viruses (no data).

MSTR 1



G1 = 21





G12 = S
G16 = O
DER: and physiologically acceptable salts
MPL: claim 1

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 16 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 129:122844 MARPAT
TITLE: Preparation of 2'-azido-2'-deoxy-4'-thioribonucleosides as ribonucleotide reductase inhibitors
INVENTOR(S): Yamada, Kohei; Yoshimura, Yuichi
PATENT ASSIGNEE(S): Yamasa Shoyu Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 10168096	A2	19980623	JP 1996-339056	19961204
PRIORITY APPLN. INFO.:			JP 1996-339056	19961204

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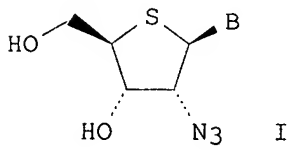
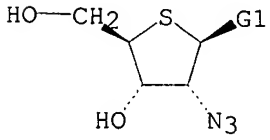


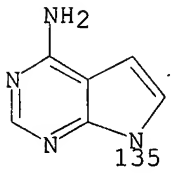
I

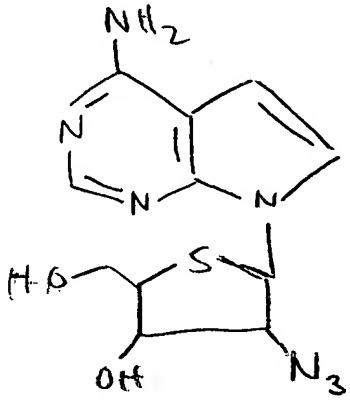
AB Title compds. I [B = (substituted) pyrimidine, purine, aza-purine, or deaza-purine residue] are prepared I show inhibition of ribonucleotide reductase and are useful as antiviral or antitumor agents (no data). 1-O-acetyl-2-azido-3-O-benzoyl-5-O-tert-butyldimethylsilyl-2-deoxy-4-thio-D-ribofuranose (preparation given) was treated with silylated N4-acetylcytosine and CF3SO3SiMe3 in CH2Cl2 at room temperature overnight and the product was deprotected with NH4HF2 in MeOH at room temperature overnight to give 35% I (B = cytosine residue).

MSTR 1



G1 = 135





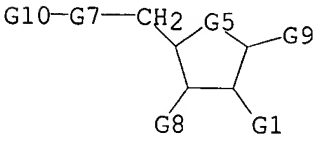
MPL: claim 1

L24 ANSWER 17 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 128:128248 MARPAT
TITLE: Solid phase synthesis of oligonucleotides using cyclic diacyl exo-amine protecting groups
INVENTOR(S): Pfleiderer, Wolfgang; Beier, Markus
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Ger. Offen., 26 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

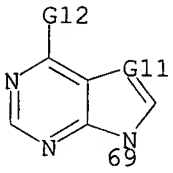
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19627898	A1	19980115	DE 1996-19627898	19960711
EP 818460	A2	19980114	EP 1997-111426	19970707
EP 818460	A3	19990224		
EP 818460	B1	20030115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 231162	E	20030215	AT 1997-111426	19970707
PT 818460	T	20030630	PT 1997-97111426	19970707
ES 2188826	T3	20030701	ES 1997-111426	19970707
AU 9728552	A1	19980122	AU 1997-28552	19970709
AU 716391	B2	20000224		
CA 2210031	AA	19980111	CA 1997-2210031	19970710
NO 9703217	A	19980112	NO 1997-3217	19970710
JP 10072486	A2	19980317	JP 1997-185142	19970710
US 5936077	A	19990810	US 1997-893614	19970711
PRIORITY APPLN. INFO.:			DE 1996-19627898	19960711

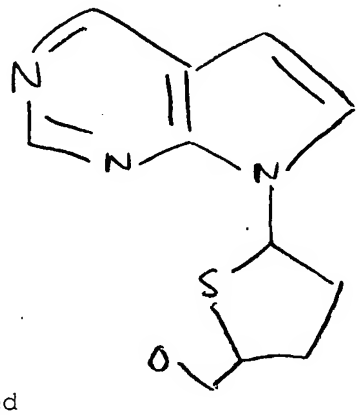
AB A method of solid phase synthesis of oligonucleotides using diacyl protecting groups for exo-cyclic amines is claimed. Thus, nucleotides having protected exo-amines are sequentially bound on a solid phase, and if necessary, existing phosphate protecting groups are removed using a strong, non-nucleophilic base, the oligonucleotide is deprotected, and then cleaved from the solid phase. Using this method, oligomers up to 22-mers were prepared

MSTR 2



G5 = S
G7 = O
G9 = 69





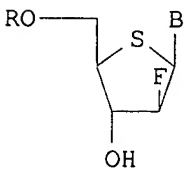
G11 = CH
MPL: claim 5
NTE: substitution is restricted

L24 ANSWER 18 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 127:319208 MARPAT
TITLE: Preparation of 9-(2-deoxy-2-fluoro-4-thio-β-D-arabinofuranosyl)purine derivatives as antiviral agents
INVENTOR(S): Yamada, Kohei; Yoshimura, Yuichi; Machida, Haruhiko; Watanabe, Mikari

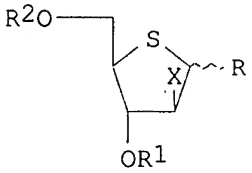
PATENT ASSIGNEE(S): Yamasa Corp., Japan; Yamada, Kohei; Yoshimura, Yuichi; Machida, Haruhiko; Watanabe, Mikari
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9737993	A1	19971016	WO 1997-JP1205	19970409
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 10087687	A2	19980407	JP 1996-278630	19960930
CA 2224165	AA	19971016	CA 1997-2224165	19970409
EP 839813	A1	19980506	EP 1997-916632	19970409
R: CH, DE, ES, FR, GB, IT, LI				
US 6103707	A	20000815	US 1998-973530	19980629
PRIORITY APPLN. INFO.:			JP 1996-111968	19960409
			JP 1996-215083	19960726
			JP 1996-215084	19960726
			WO 1997-JP1205	19970409

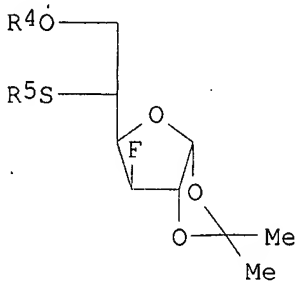
OTHER SOURCE(S): CASREACT 127:319208
GI



I



II

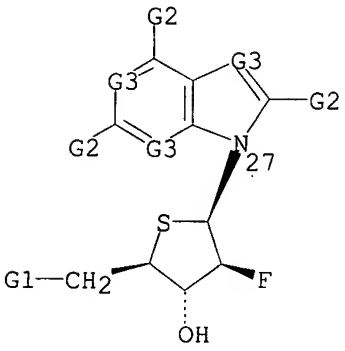


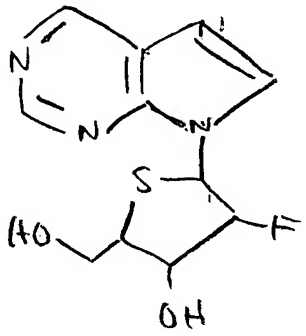
III

AB The title 9-(2-Deoxy-2-fluoro-4-thio-β-D-arabinofuranosyl)purine derivs. of general formula (I; B = a base selected from the group consisting of purines, azapurines and deazapurines which may be substituted by halogeno, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, amino, alkylamino, hydroxy, hydroxyamino, aminoxy, alkoxy, mercapto, alkylmercapto, aryl, aryloxy or cyano; R = hydrogen or phosphate residue), having excellent antiviral activity, are prepared by fluorination of 1,4-anhydro-4-thio-D-arabitol derivative (II; X = OH, R = H; R1, R2 = alkyl, silyl, acyl) with Et2NSF3 (DAST) to 1,4-anhydro-2-deoxy-2-fluoro-4-thio-D-

arabitol derivative II (X = F, R = H; R1, R2 = same as above), Pummerer rearrangement to 2-deoxy-2-fluoro-4-thio-D-arabinose derivative II (X = F, R = OR3; wherein R3 = acyl; R1, R2 = same as above), and condensation with a purine or aza- or deazapurine base followed by deprotection. They are also prepared by fluorination of 1,2:5,6-di-O-isopropylidene-α-D-allofuranose to 1,2:5,6-di-O-isopropylidene-3-deoxy-3-fluoro-α-D-glucofuranose, selective removal of the 5,6-isopropylidene group, conversion to an 5,6-epoxide and then to a 5,6-thiirane (5,6-anhydro-1,2-O-isopropylidene-3-deoxy-3-fluoro-α-L-idofuranose), opening of the thiirane ring to 3-deoxy-3-fluoro-1,2-O-isopropylidene-5-thio-α-D-glucofuranose (III; R4, R5 = alkyl, acyl), oxidative degradation followed by 1-alkoxylation to II (X = F, R = OR8; wherein R8 = alkyl; R1, R2 = alkyl, acyl), and condensation with a purine or aza- or deazapurine base followed by deprotection. Thus, II (X = OH, R = H, R1 = CH2Ph, R2 = tert-butyldiphenylsilyl) was fluorinated by DAST at -78° for 3 h to give 55% II (X = F, R = H, R1 = CH2Ph, R2 = tert-butyldiphenylsilyl), which was oxidized by m-chloroperbenzoic acid in CH2Cl2 at -78° for 30 min and the product sulfoxide was heated with Ac2O at 110° for 2 h to give II (X = F, R = OAc, R1 = CH2Ph, R2 = tert-butyldiphenylsilyl). The latter compound was condensed with adenine in the presence of CF3SO3SiMe3 and mol. sieve 4A in CH2Cl2 at 0° for 30 min followed by debenzylation with BCl3 in CH2Cl2 at 0° for 30 min and then desilylation with NH4F in DMF to give I (R = H, B = adenin-9-yl). The latter compound and I (R = H, B = 2,6-diaminopurin-9-yl) showed ED50 of 1.61 and 0.0057 μg/mL, resp., for inhibiting the plaque formation in human fetus lung fibroblast infected with herpes simplex virus 1 (HSV-1).

MSTR 1





G1 = OH
G3 = CH / N
MPL: claim 1

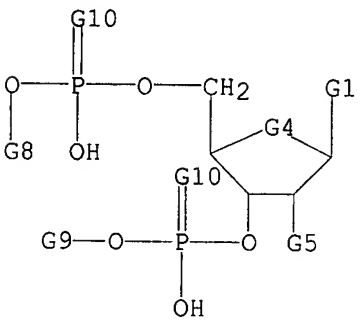
L24 ANSWER 19 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 127:62506 MARPAT
TITLE: Hammerhead ribozyme analogs containing modified bases and sugar moieties
INVENTOR(S): Ludwig, Janos; Sproat, Brian
PATENT ASSIGNEE(S): Vimrx Holdings, Ltd., USA; Ludwig, Janos; Sproat, Brian
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

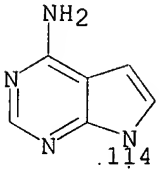
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9718312	A1	19970522	WO 1996-EP5014	19961114
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19542404	A1	19970515	DE 1995-19542404	19951114
AU 9675720	A1	19970605	AU 1996-75720	19961114
EP 866865	A1	19980930	EP 1996-938213	19961114
EP 866865	B1	20020206		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
JP 2000501284	T2	20000208	JP 1997-518590	19961114
AT 213019	E	20020215	AT 1996-938213	19961114
PRIORITY APPLN. INFO.:			DE 1995-19542404	19951114
			US 1996-612298	19960307
			WO 1996-EP5014	19961114

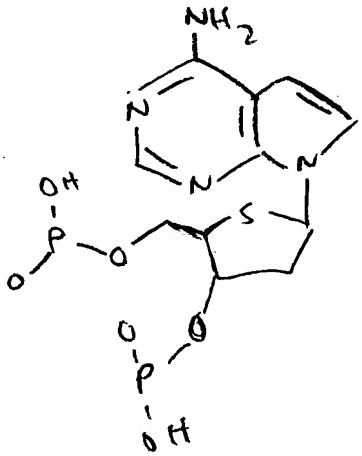
AB Novel hammerhead ribozymes that have a catalytic core sequence and flanking sequences with either or both containing modified bases or backbone moieties are described for use in the in vitro or in vivo cleavage of mRNAs. These analogs are less sensitive to loss of activity by non-specific protein binding and appear to act synergistically with cellular proteins. Preferred cleavage sites for the catalytic core sequences chosen are comparatively rare, increasing the selectivity of the ribozyme. These ribozymes can be used for the therapeutic control of gene expression and as anticancer agents. Synthesis of ribozyme analogs active against a number of mRNAs is reported.

MSTR 1



G1 = 114



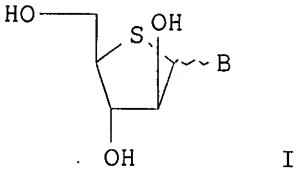


G4 = S
MPL: claim 1

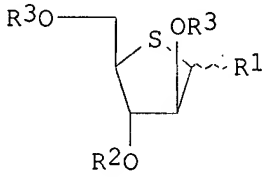
L24 ANSWER 20 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 127:278414 MARPAT
TITLE: Preparation of 4'-thioarabinopurine nucleosides as antiviral agents
INVENTOR(S): Watanabe, Mikari; Yoshimura, Yuichi; Sakata, Shinji; Ashida, Noriyuki; Machida, Haruhiko
PATENT ASSIGNEE(S): Yamasa Shoyu Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09249690	A2	19970922	JP 1996-191571	19960702
US 5817639	A	19981006	US 1996-679448	19960712
PRIORITY APPLN. INFO.:			JP 1995-201579	19950714
			JP 1996-20412	19960111

OTHER SOURCE(S): CASREACT 127:278414
GI



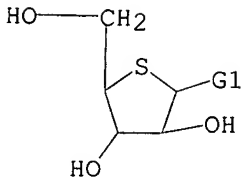
I



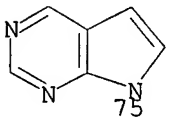
II

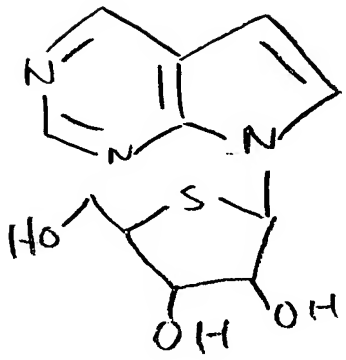
AB The title compds. (I; B = purine base other than adenine), which show excellent antiviral activity, are prepared via Pummerer rearrangement of 1-deoxy-4-thioarabinose derivs. (II; R1 = H; R2, R3 = HO-protecting group) to II (R1 = OAc; R2, R3 = same as above). An antiviral agent containing I as the active ingredient is claimed. Thus, II (R1 = H, R2 = R3 = CH2Ph) was oxidized by m-chloroperbenzoic acid in CH2Cl2 at -78° to quant. give the sulfoxide, which was heated with Ac2O under stirring at 100° for 3 h to give 56.5% II (R1 = OAc, R2 = R3 = CH2Ph). The latter compound was stirred with 2,6-diaminopurine in the presence of CF3SO3SiMe3 and mol. sieve 4A in MeCN at room temperature for 1 h to give II (R1 = 2,6-diaminopurin-9-yl, R2 = R3 = CH2Ph), which was treated with BCl3 in CH2Cl2 at -78° for 1 h and -20° for 2 h to give, after silica gel chromatog., α- and β-I (R1 = 2,6-diaminopurin-9-yl). β-I (R1 = 2,6-diaminopurin-9-yl) showed ED50 of 0.52, 0.40, 0.11, and 0.022 μg/mL against virus herpes simplex virus 1 (HSV-1), HSV-2, Varicella-zoster virus (VZV), and human cytomegalovirus (HCMV), resp.

MSTR 1



G1 = 75





MPL: claim 1

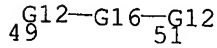
L24 ANSWER 21 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 127:60627 MARPAT
TITLE: DNA-RNA oligomer analogs with splicing activity against interleukin, ICAM-1, MDR-1 or other mRNAs and therapeutic or other uses
INVENTOR(S): Ludwig, Janos; Dunkel, Martin; Gerdes, Willi; Blaschke, Martina; Sproat, Brian S.; Stadler, Herbert; Rupp, Thomas
PATENT ASSIGNEE(S): Ribonetics Gmbh, Germany
SOURCE: Ger. Offen., 30 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19542404	A1	19970515	DE 1995-19542404	19951114
CA 2237528	AA	19970522	CA 1996-2237528	19961114
WO 9718312	A1	19970522	WO 1996-EP5014	19961114
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9675720	A1	19970605	AU 1996-75720	19961114
EP 866865	A1	19980930	EP 1996-938213	19961114
EP 866865	B1	20020206		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
JP 2000501284	T2	20000208	JP 1997-518590	19961114
AT 213019	E	20020215	AT 1996-938213	19961114
PRIORITY APPLN. INFO.:			DE 1995-19542404	19951114
			US 1996-612298	19960307
			WO 1996-EP5014	19961114

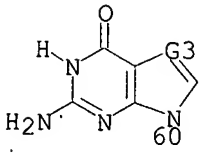
AB Chimeric oligomers with RNA-splicing activities in vitro and in vivo are disclosed. The chimeric oligomers contain an active center comprising nucleotides or nucleotide analogs and sequences flanking the active center which specifically hybridize with targe RNAs. These chimeric oligomers are useful for gene inactivation. Viral, tumor, or plant gene inactivation are included. Examples include oligomers with active centers GAA or CUGAUGA. Active center adenosines are modified with 2'-O-Me or 2'-O-(2-hydroxyethyl) groups. Flanking sequences are 2'-methoxy or

2'-O-allyloxy modified. The 3'-terminal end is a 3'-3'-phosphodiester linked deoxythymidine. In examples, targeted mRNAs encoded human MDR-1, interleukin-6, ICAM-1, or interleukin-2.

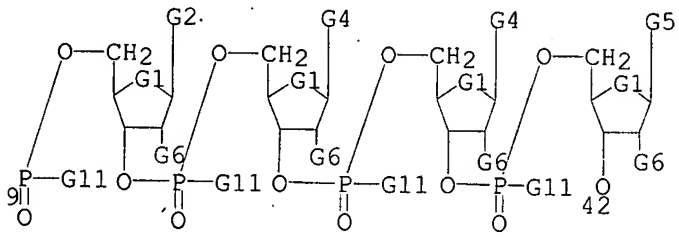
MSTR 1

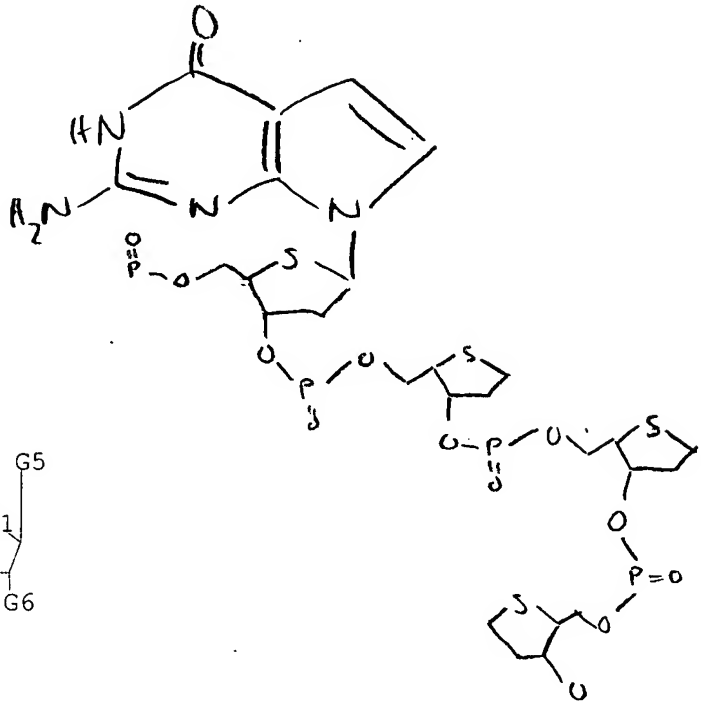


G1 = S
G2 = 60



G3 = CH
G16 = 9-49 42-51





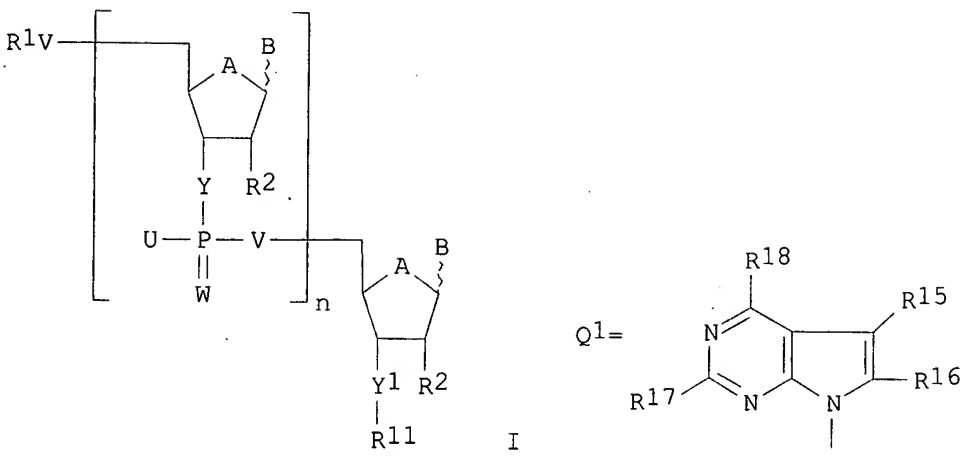
MPL: claim 1

L24 ANSWER 22 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 125:115076 MARPAT
TITLE: Preparation of oligonucleotides containing substituted 7-desazapurine bases which form stable hybridization complexes with nucleic acids.
INVENTOR(S): Seela, Frank; Thomas, Horst
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 57 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 710667	A2	19960508	EP 1995-117058	19951030
EP 710667	A3	19970910		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4438918	A1	19960509	DE 1994-4438918	19941104
CA 2162075	AA	19960505	CA 1995-2162075	19951103
JP 08225589	A2	19960903	JP 1995-311636	19951106

PRIORITY APPLN. INFO.: DE 1994-4438918 19941104

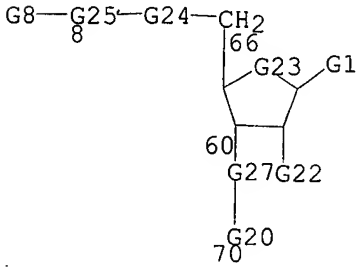
GI



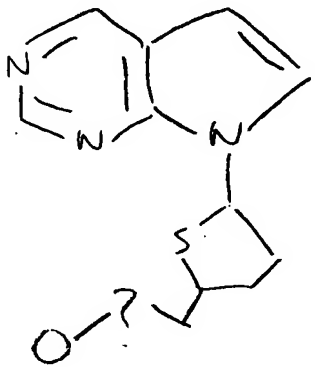
I

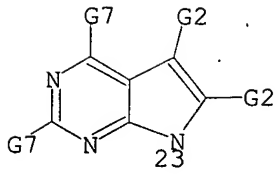
AB Title compds. [I; A = O, S, CH2; B = nucleotide base, ≥1 of which = Q1; U = OH, SH, SeH, alkoxy, alkyl, aryl, aralkyl, amino, etc.; V = O, S, imino; W = O, S, Se; Y = O, S, imino, CH2; Y1 = O, S, imino, (CH2)m, V(CH2)m; Z, Z1 = OH, SH, SeH, alkoxy, aminoalkoxy, etc.; m = 1-18; n ≥1; R1 = H, alkyl, alkenyl, alkynyl, alkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, aralkyl, protecting group, P(:W)ZZ1; R11 = H, alkyl, alkenyl, alkynyl, alkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, aralkyl, P(:W)ZX; R2 = H, OH, alkoxy, alkenyloxy, halo, N3, NH2; R15, R16 = H, halo, (substituted) alkyl, alkenyl, alkynyl, NO2, NH2, cyano, alkylthio, alkoxy, aryloxy, SiH3, CO2H, alkoxycarbonyl, etc.; R17, R18 = HY, OH, NH2; the positions of R2 and Y, or of R2 and Y1 may be interchanged], and deazapurine-containing monomers, were prepared Thus, d(Cl7C7A-T)6 (Cl7C7A = 7-chloro-7-desazaadenosine) was prepared by solid phase synthesis on controlled pore class and the dimer showed Tm = 60°.

MSTR 1A



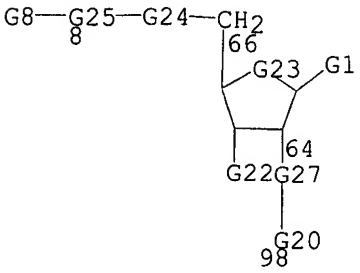
G1 = 23



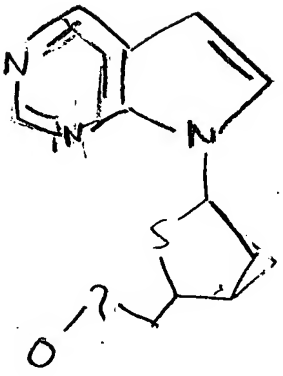


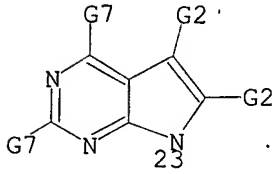
G23 = S
G25 = O
DER: and physiologically acceptable salts
MPL: claim 1
NTE: substitution is restricted

MSTR 1B



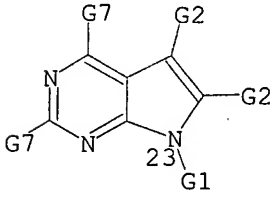
G1 = 23



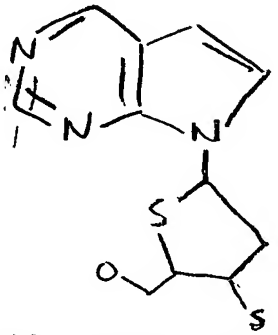


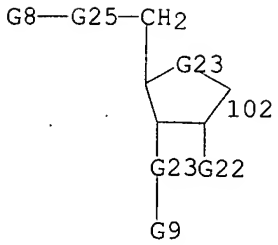
G23 = S
G25 = O
DER: and physiologically acceptable salts
MPL: claim 1
NTE: substitution is restricted

MSTR 2



G1 = 102



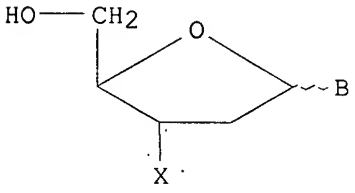


G23 = S
G25 = O
DER: and protected derivatives
MPL: claim 12
NTE: substitution is restricted

L24 ANSWER 23 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 123:74881 MARPAT
TITLE: 2',3'-Dideoxy-4'-thioribonucleosides as antiviral agents, and their preparation
INVENTOR(S): Montgomery, John A.; Secrist, John A., III
PATENT ASSIGNEE(S): Southern Research Institute, USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9511685	A1	19950504	WO 1994-US12227	19941027
W: JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5478928	A	19951226	US 1993-142907	19931029
PRIORITY APPLN. INFO.:			US 1993-142907	19931029
			US 1990-513270	19900420
			US 1991-639021	19910109
			US 1992-862077	19920402

OTHER SOURCE(S): CASREACT 123:74881
GI

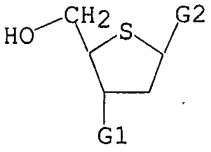


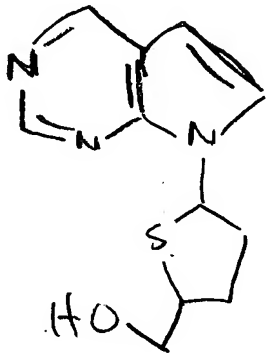
I

AB 2',3'-Dideoxy-4'-thioribonucleosides useful as antiviral agents in the treatment and prevention of AIDS are disclosed. In accordance with one aspect of the invention, there are provided compds. I (X = H, N3, F; B = pyrimidine, 5-azapyrimidine, 6-azapyrimidine, 3-deazapyrimidine, purine,

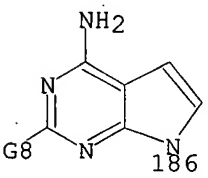
3-deazapurine, 7-deazapurine, 8-azapurine, 2-azapurine base). The intermediate 1-O-acetyl-5-O-t-butyldiphenylsilyl-4-thio-2,3-dideoxyribofuranose is useful in the production of certain of the 2',3'-dideoxy-4'-thioribonucleosides. Other intermediates include the 4-thio-2,3-dideoxyribofuranose having different hydroxyl protecting groups and leaving groups.

MSTR 1





G2 = 186



MPL: claim 1

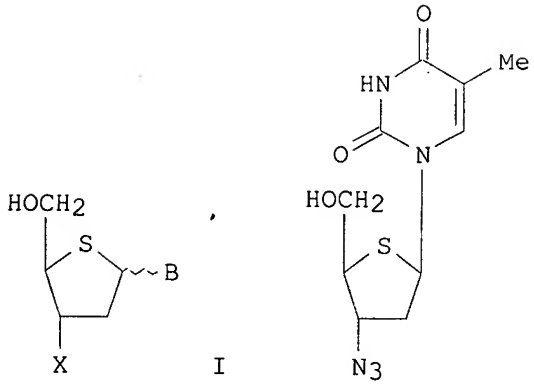
L24 ANSWER 24 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 124:261621 MARPAT
TITLE: 2',3'-Dideoxy-4'-thioribonucleosides as anti-HIV agents useful in the treatment and prevention of AIDS
INVENTOR(S): Montgomery, John A.; Secrist, John A., III
PATENT ASSIGNEE(S): Southern Research Institute, USA
SOURCE: U.S., 13 pp. Cont.-in-part of U.S. Ser. No. 862,077, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5478928	A	19951226	US 1993-142907	19931029
US 5128458	A	19920707	US 1991-639021	19910109
WO 9511685	A1	19950504	WO 1994-US12227	19941027

W: JP
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

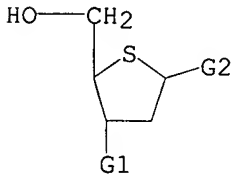
PRIORITY APPLN. INFO.:
US 1990-513270 19900420
US 1991-639021 19910109
US 1992-862077 19920402
US 1993-142907 19931029

GI

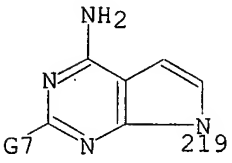


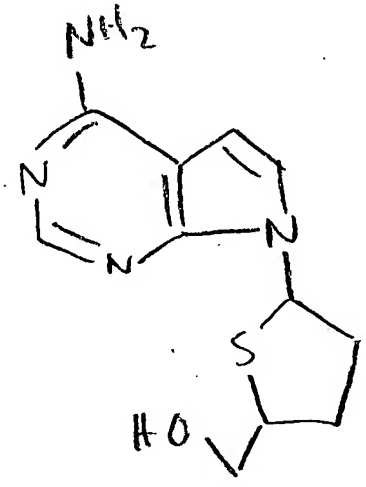
AB 2',3'-Dideoxy-4'-thioribonucleosides useful as antiviral agents in the treatment and prevention of AIDS are disclosed. In accordance with one aspect of the invention there are provided compds. of the formula I where X = H, N3 or F, and B is a member selected from the group consisting of pyrimidine, 5-azapyrimidine, 6-azapyrimidine, 3-deazapyrimidine, purine, 3-deazapurine, 7-deazapurine, 8-azapurine, and 2-azapurine bases. Thus, e.g., 1-(2-deoxy-4-thio-β-D-ribofuranosyl)thymine is converted to its 5-O-trityl derivative with triphenylmethyl chloride and subsequently to 2,3'-anhydro-2'-deoxy-4'-thio-5-O-trityl-β-D-ribofuranosylthymine with DAST; azidation with NaN3 followed by deprotection afforded 1-(2-deoxy-3-azido-4-thio-β-D-ribofuranosyl)thymine (II) which exhibited anti-HIV-1 activity in the CEM cell line with IC50 = 0.45 μg/mL, TC25 (min. drug concentration that reduced cell viability by 25%) > 100 μg/mL, and SI (selectivity index = TC25/IC50) > 222.02 vs. <0.03, >10, and > 313, resp., for AZT, and 0.05, 5.3, and 120, resp., for DDC.

MSTR 1



G2 = 219





MPL: claim 1

L24 ANSWER 25 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 124:146760 MARPAT

TITLE: Oligonucleotide analogs containing unsaturated 3',5' and 2',5' allyl ether and allyl sulfide linkages capable of hybridizing to target nucleic acid sequences

INVENTOR(S): Matteucci, Mark D.; Cao, Xiaodong
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA

SOURCE: U.S., 77 pp. Cont.-in-part of U.S. Ser. No. 892,902.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

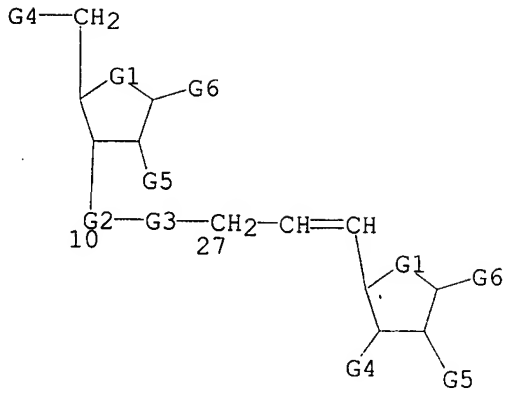
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5434257	A	19950718	US 1993-142785	19931026
US 5817781	A	19981006	US 1992-892902	19920601
AT 174599	E	19990115	AT 1993-915177	19930601
WO 9511911	A1	19950504	WO 1994-US12202	19941025
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6410702	B1	20020625	US 1998-165883	19981002
US 2003120050	A1	20030626	US 2002-176763	20020621
US 6683166	B2	20040127		
PRIORITY APPLN. INFO.:			US 1992-892902	19920601
			US 1993-142785	19931026
			US 1998-165883	19981002

GI

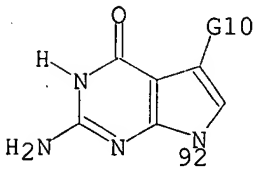
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

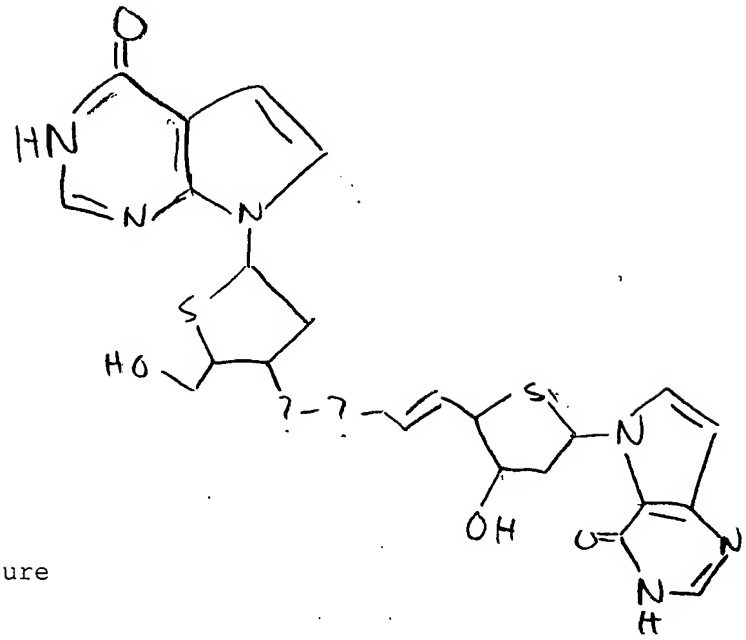
AB Oligonucleotide analogs I and II where X is S, O, CH2, CHF or CF2 ; X1 is O or S; R1 is independently H, an oligomer or a blocking group including PO3-2, O-dimethoxytrityl (DMTO), O-monomethoxytrityl (MMTO), H-phosphonate (OPO2H), methylphosphonate (OPO3CH3), methylphosphonamidite, or a phosphoramidite such as β-cyanoethylphosphoramidite; R2 independently is O-alkyl (C1-C12 including O-Me, O-Et, O-Pr, O-Bu and their isomers), S-alkyl(C1-C12), H, OH, OCH3, SCH3, OCH2CH:CH2 (O-allyl), OC3H7 (O-propyl), SCH2CHCH2, or a halogen (F, Cl, Br or I); B is independently a base, and n is 0-100, preferably 0-28; both R1 taken together can comprise a circular oligomer and may be covalently linked, for example, at a terminal 5' position with a terminal 2' or 3' position, are disclosed. The substitute linkage replace the usual phosphodiester linkage found in unmodified nucleic acids. The oligonucleotide analogs are easy to synthesize, stable in vivo, resistant to endogenous nucleases and are able to hybridize to target nucleic acid sequences in a sequence specific manner. Thus, e.g., 3'-H-phosphonate dimers III (X = O, S, preparation given) were incorporated into oligomers (5' TCT CTC TCT CT#T T#TT 3'; # = X-containing linkage) and tested for binding to single stranded DNA (3' AGA GAG AGA GAA AAA 5'): ΔTm was -3.25 and -3.0°, resp., for X = O and X = S.

MSTR 1



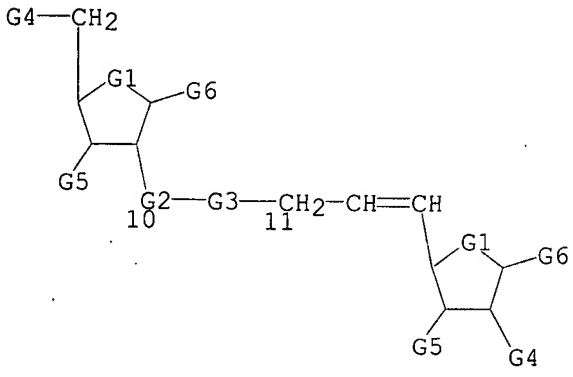
G1 = S
G4 = OH
G6 = 92

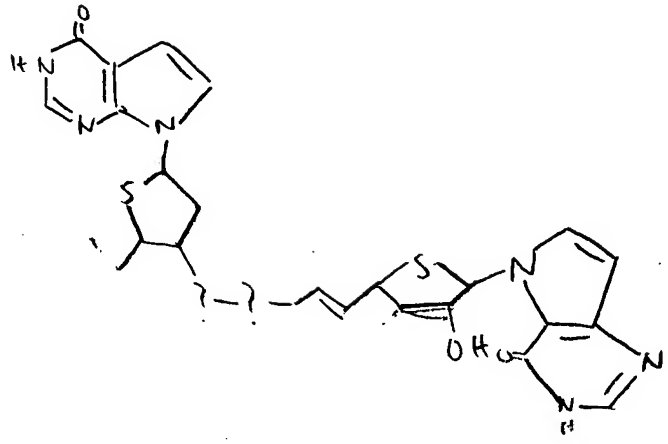




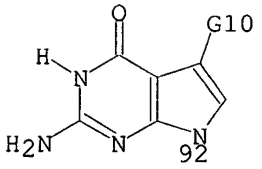
DER: and cyclic derivatives
MPL: claim 4
NTE: also incorporates broader disclosure

MSTR 2





G1 = S
G4 = OH
G6 = 92

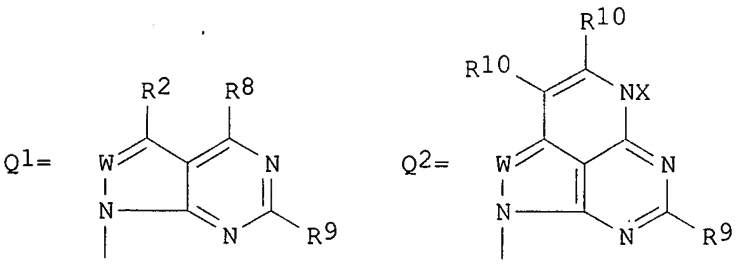


MPL: claim 4

L24 ANSWER 26 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 123:144508 MARPAT
TITLE: Enhanced triple-helix and double-helix formation with oligomers containing modified purines.
INVENTOR(S): Froehler, Brian; Matteucci, Mark
PATENT ASSIGNEE(S): Gilead Sciences, Inc, USA
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9424144	A2	19941027	WO 1994-US4013	19940412
WO 9424144	A3	19950316		
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9466320	A1	19941108	AU 1994-66320	19940412
EP 695306	A1	19960207	EP 1994-914131	19940412
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5594121	A	19970114	US 1995-479248	19950607
PRIORITY APPLN. INFO.:			US 1993-50698	19930419
			US 1991-787920	19911107
			WO 1994-US4013	19940412

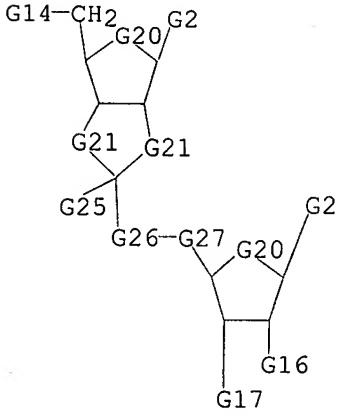
GI

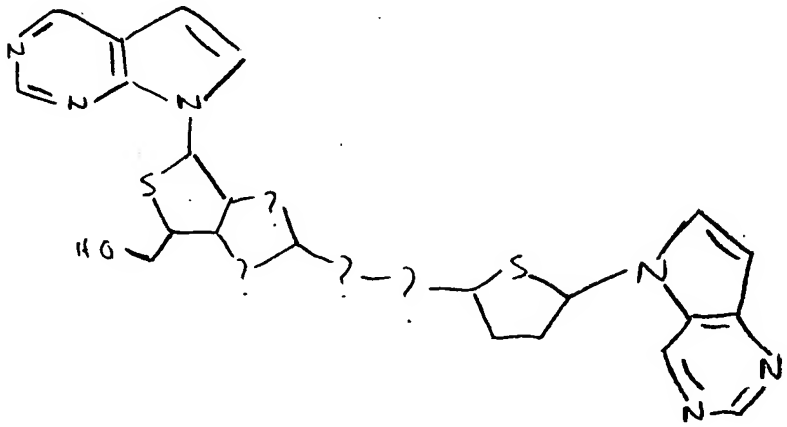


AB Oligomers comprising ≥2 nucleomonomers wherein ≥1 of the nucleomonomers comprises a base Q1 or Q2; [X = H, protecting group; W = CH, N; R2 = H, Me, group containing a C atom which is bonded to another atom via a π bond; R8 = OH, SH, NH2; R9 = H, OH, SH, NH2; R10 = H, OH, cyano, F, Cl, Br, iodo, alkyl, alkenyl, alkynyl, aryl, heteroaryl; R10R10 = atoms to form a 5-6 membered (substituted) carbocyclic or heterocyclic ring; with provisos], are claimed. The oligomers of the invention are

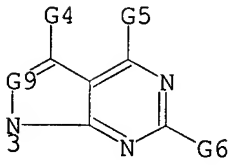
capable of (1) forming triplexes with various target sequences such as a virus or oncogene sequences by coupling into the major groove of a target DNA duplex at physiol. pH or (2) forming duplexes by binding to single-standard DNA or to RNA encoded by target genes. Thus, 7-deaza-7-iodo-2'-deoxyadenosine was stirred with CuI, Et3N, and (Ph3P)4 in DMF under propyne overnight at room temperature; Dowex ion exchange resin was added and the mixture was stirred a further 2 h to give 38% 7-deaza-7-(1-propynyl)-2'-deoxyadenosine. Dimers and higher oligomers containing ≥1 Q1 or Q2 moiety are claimed, as is their use for detecting single- or double-stranded nucleic acids and for treating disease.

MSTR 5





G2 = 3



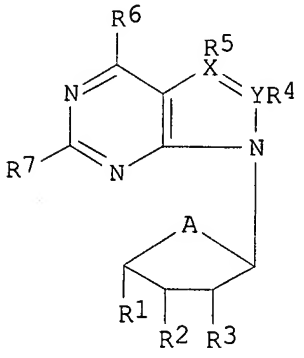
G9 = CH
G14 = OH
G20 = S
MPL: claim 50
NTE: additional ring formation allowed

L24 ANSWER 27 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 123:56506 MARPAT
TITLE: Preparation of lyxofuranosylpyrrolopyrimidines and -pyrazolopyrimidines as adenosine kinase inhibitors.
INVENTOR(S): Erion, Mark David; Ugarkar, Bheemarao Ganapatrao; Castellino, Angelo John
PATENT ASSIGNEE(S): Gensia, Inc., USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9418215	A1	19940818	WO 1994-US1260	19940203
W: AT, AU, BB, BG, BR, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ; CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9461332	A1	19940829	AU 1994-61332	19940203
AU 673055	B2	19961024		
EP 684953	A1	19951206	EP 1994-907966	19940203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08506343	T2	19960709	JP 1994-518227	19940203
PRIORITY APPLN. INFO.:			US 1993-14159	19930203
			US 1994-182381	19940114
			WO 1994-US1260	19940203

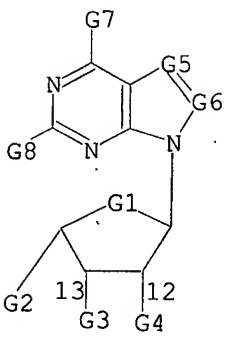
GI

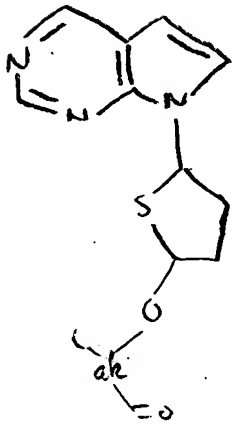


I

AB Title compds. (I; A = O, CH2, S; R1 = CO2H, carboxyalkyl, carboxamido, alkenyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, etc.; R2, R3 = H, OH or alkyl esters or carbonates thereof; R2R3 = atoms to form a ring containing ≥2 O atoms; X, Y = C, N; X and Y cannot both simultaneously = N; R4 = null, H, halo, alkyl, alkylamino, alkylthio, N3; R5 = null, halo, alkyl, aryl, aralkyl, alkenyl, alkynyl, alkoxy, cyano, cyanoalkyl, carboxamido, aryloxy, amino, alkylamino, arylamino, aralkylamino, alkylthio, arylthio; R6 = H, amino, halo, alkoxy, alkylthio, aryl, alkyl, alkylamino, arylamino, aralkylamino; R7 = H, alkyl, halo, alkoxy, alkylthio; with addnl. provisos), were prepared Thus, 2,3-isopropylidene-5-tert-butyldimethylsilyl-L-lyxofuranose (preparation given) and CCl4 in THF at -78° were treated with HMPT in THF; the mixture was warmed to -30°, stirred 30 min., cooled to -78°, and stirred a further 2 h. The chlorosugar mixture was added to 4-chloro-5-iodopyrrolo[2,3-d]pyrimidine and NaH in MeCN and the mixture was stirred overnight at room temperature to give 4-chloro-5-iodo-7-(5-tert-butyldimethylsilyl-2,3-isopropylidene-1α-L-lyxofuranosyl)pyrrolo[2,3-d]pyrimidine. This was stirred with CF3CO2H at 40° and the product was heated with NH3 in MeOH in a bomb at 105° to give 4-amino-5-iodo-(1α-L-lyxofuranosyl)pyrrolo[2,3-d]pyrimidine. The latter at 1 μM in isolated guinea pig heart increased post-ischemic function to 71.4% of pre-ischemic left ventricular developed pressures, vs. 62.1% for untreated controls.

MSTR 1





G1 = S
G2 = alkoxycarbonyl
G5 = 35

35 C—G13

G6 = 37

37 C—G14

DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: only one of G5 and G6 may be nitrogen; substitution is restricted

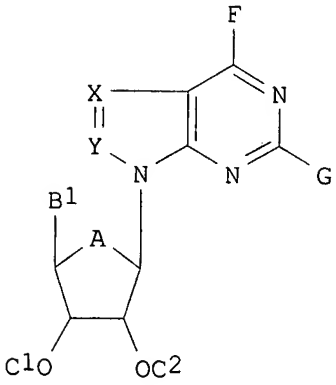
L24 ANSWER 28 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 121:221999 MARPAT
TITLE: Preparation of adenosine kinase-inhibiting purine nucleoside analogs as antiinflammatory agents
INVENTOR(S): Firestein, Gary Steven; Ugarkar, Bheemarao Ganapatrao; Miller, Leonard Paul; Gruber, Harry Edward; Bullough, David Andrew; Erion, Mark David; Castellino, Angelo John
PATENT ASSIGNEE(S): Gensia, Inc., USA
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9417803	A1	19940818	WO 1994-US1340	19940203

W: AT, AU, BB, BG, BR, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, UZ
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9462365	A1	19940829	AU 1994-62365	19940203
EP 682519	A1	19951122	EP 1994-909558	19940203
R: CH, DE, FR, GB, IT, LI				
US 5646128	A	19970708	US 1994-349125	19941201
PRIORITY APPLN. INFO.:			US 1993-14190	19930203
			US 1989-408707	19890915
			US 1990-466979	19900118
			US 1991-647117	19910123
			US 1991-812916	19911223
			US 1994-192645	19940203
			WO 1994-US1340	19940203

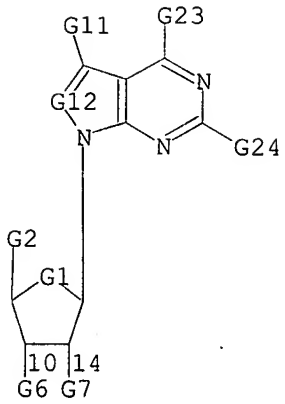
GI

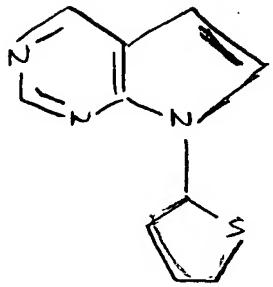


I

AB Novel nucleosides I [A = O, CH2, S; B' = (CH2)nB, alkenyl, alkynyl; B = H, alkyl, alkoxy, NH2, alkylamino, etc.; C1, C2 = H, acyl, hydrocarbyloxycarbonyl, or C1C2 = C(:O), α-alkoxyalkylidene; X = CD; D = H, halo, alkyl, cyano, CO2H, etc.; Y = N, CE; E = H, halo, alkyl, alkylthio; F = alkyl, aryl, halo, cyano, indolyl, pyrrolidinyl, etc.; G = H, halo, alkyl, alkoxy, alkylamino, alkylthio; n = 1-4], prepared by multistep procedures which are described, selectively inhibit adenosine kinase and are useful in treatment of conditions characterized by an inflammatory response. Such conditions include sepsis, arthritis, autoimmune disease, burns, psoriasis, conjunctivitis, etc. Thus, mice with endotoxemia resulting from injection of Escherichia coli lipopolysaccharide showed a dose-dependent increase in survival in response to i.v. injection of the adenosine kinase inhibitor, 4-amino-1-(5-amino-5-deoxy-1-β-D-ribofuranosyl)-3-bromopyrazolo[3,4-d]pyrimidine-HCl; this effect was antagonized by the adenosine receptor antagonist 8-(p-sulfophenyl)theophylline.

MSTR 1



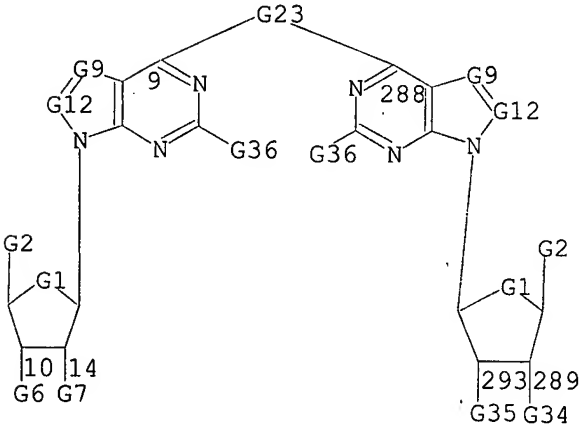


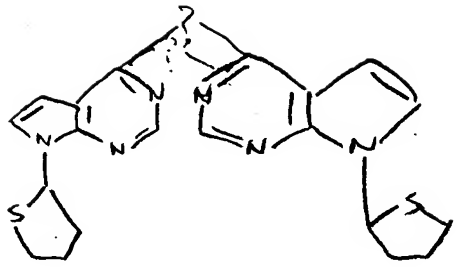
G1 = S
G3 = (1-4) CH2
G12 = 38

C—G13
38

G14 = O
DER: and pharmaceutically acceptable salts
MPL: claim 12
NTE: substitution is restricted
NTE: also incorporates claims 13 and 14

MSTR 3





G1 = S
G3 = (1-4) CH2
G4 = OH
G9 = 322

C—G11
322

G12 = 38

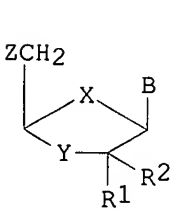
38 C——G13

DER: or pharmaceutically acceptable salts
MPL: claim 16
NTE: substitution is restricted

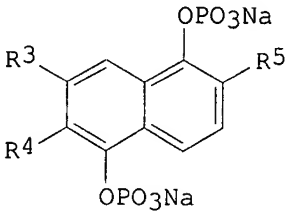
L24 ANSWER 29 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 119:265543 MARPAT
TITLE: Sensitizing agents for use in boron neutron capture therapy.
INVENTOR(S): Schinazi, Raymond F.; Liotta, Dennis C.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9317028	A1	19930902	WO 1993-US1478	19930224
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
US 5405598	A	19950411	US 1992-840093	19920224
AU 9337252	A1	19930913	AU 1993-37252	19930224
US 5462724	A	19951031	US 1995-380520	19950130
PRIORITY APPLN. INFO.:			US 1992-840093	19920224
			WO 1993-US1478	19930224

GI



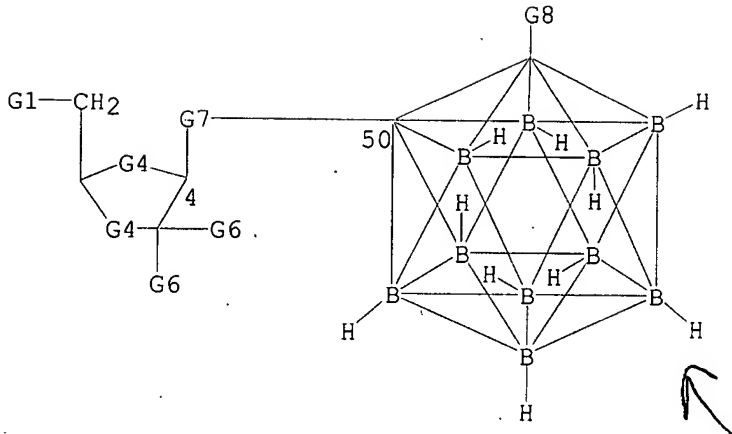
I



II

AB The heteronucleosides I [Z = OH, OPO3H2, etc.; R1,R2 = H, alkyl, CF3, F; X,Y = O,S, (alkyl-substituted NH; B = carboranyl-substituted purine or pyrimidine base) and the 1,4-naphthalenediol bisphosphates II [R3,R4 = H, B(OH2), carboranyl, etc.; R5 = alkyl] are prepared as sensitizing agents in boron-capture therapy, especially of brain gliomas (no data). The compds. also exhibit antiviral activity in cells infected with the human immunodeficiency virus-1, without the use of neutrons.

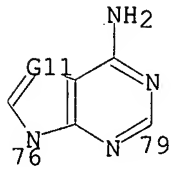
MSTR 1



G1 = OH
G4 = S / 26

HC—G5
26

G7 = 76-4 79-50



G11 = CH
DER: and pharmaceutically acceptable salts
MPL: claim 1

L24 ANSWER 30 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 122:161221 MARPAT
TITLE: Preparation of oligothionucleotides as hybridization probes
INVENTOR(S): Barascut, Jean Louis; Imbach, Jean Louis
PATENT ASSIGNEE(S): Centre National de la Recherche Scientifique, Fr.
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9316095	A1	19930819	WO 1993-FR115	19930204
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2686882	A1	19930806	FR 1992-1275	19920205

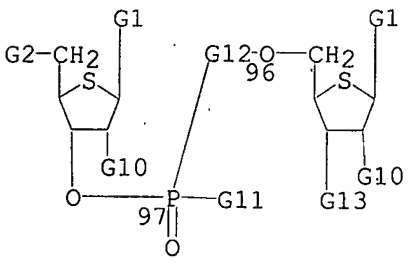
FR 2687679	A1	19930827	FR 1992-11103	19920917
FR 2687679	B1	19941028		
EP 625986	A1	19941130	EP 1993-904155	19930204
EP 625986	B1	19970115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07506345	T2	19950713	JP 1993-513826	19930204
AT 147752	E	19970215	AT 1993-904155	19930204
US 5639873	A	19970617	US 1994-284484	19940804
PRIORITY APPLN. INFO.:			FR 1992-1275	19920205
			FR 1992-11103	19920917
			WO 1993-FR115	19930204

GI

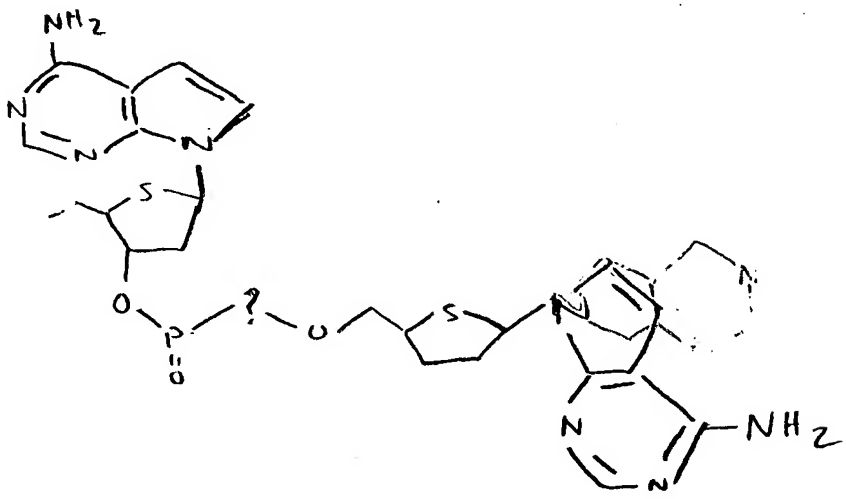
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

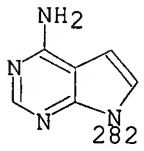
AB Title compds., oligo-4'-thio(2'-deoxy)ribonucleotides, e.g., I [B = (modified) nucleic acid base; X = O-, S-, substituted alkyl, alkoxy, etc.; R, R1 = H, Y-Z, Y1-Z1; Y, Y1 = (un)substituted alkylene; J = H, OH; Z, Z1 = OH, an effector radical, e.g., an intercalating agent carrying a function reacting directly or indirectly with the nucleotide chains or a radical whose presence permits easy detection; n = 0, an integer; L = O, S, NH] containing oligo-4'-thio(2'-deoxy)ribonucleotide units which can be linked to an effector radical, e.g., a radical carrying a function reacting directly or indirectly with the nucleotide chains or a radical whose presence permits easy detection, are prepared as hybridization probes. E.g., uridine was 5'-O-dimethoxytritylated, the product was 3'-O-silylated with tert-butyldimethylsilyl chloride, the product (II; B = uracil residue) was then 2'-O-bound to a modified controlled pore glass support and then subjected sequentially to detritylation, coupling with 2'-O-(tert-butyldimethylsilyl)-5'-O-dimethoxytrityluridine 3'-[methyl N,N-diisopropylphosphoramidite] (III) (preparation also shown), acetylation of the free 5'-OH groups, and oxidation The above steps were repeated as necessary to give, after deprotection and support cleavage, homododecamer βrSU12 [IV; B = uracil residue, n = 10]. The hybridization of IV with polyrA was carried out and the stability of the duplex was examined Other oligothionucleotides were also prepared

MSTR 1



G1 = 282





G2 = OH
MPL: claim 3

L24 ANSWER 31 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 118:213449 MARPAT
TITLE: Processes for the diastereoselective synthesis of nucleosides
INVENTOR(S): Mansour, Tarek; Hin, Haolun; Tse, Allan H. L.; Siddiqui, Arshad M.
PATENT ASSIGNEE(S): Biochem Pharma Inc., Can.
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 515156	A1	19921125	EP 1992-304551	19920520
EP 515156	B1	19960207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
ZA 9203640	A	19930224	ZA 1992-3640	19920519
ZA 9203641	A	19930224	ZA 1992-3641	19920519
CA 2069024	AA	19921122	CA 1992-2069024	19920520
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CA 2069063	AA	19921122	CA 1992-2069063	19920520
CA 2069063	C	19970715		
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NO 9201989	A	19921123	NO 1992-1989	19920520
AU 9216394	A1	19921126	AU 1992-16394	19920520
AU 655973	B2	19950119		
AU 9216395	A1	19921126	AU 1992-16395	19920520
AU 668086	B2	19960426		
HU 67726	A2	19950428	HU 1993-3296	19920520
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AT 133958	E	19960215	AT 1992-304551	19920520
ES 2084937	T3	19960516	ES 1992-304551	19920520
IL 101931	A1	19961205	IL 1992-101931	19920520
IL 101932	A1	19970415	IL 1992-101932	19920520
AT 157662	E	19970915	AT 1992-304552	19920520
ES 2104832	T3	19971016	ES 1992-304552	19920520
IL 116176	A1	19980208	IL 1992-116176	19920520
IL 116109	A1	19981227	IL 1992-116109	19920520
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CZ 285220	B6	19990616	CZ 1993-2492	19920520
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CN 1035555	B	19970806		
CN 1067654	A	19930106	CN 1992-103921	19920521
CN 1038591	B	19980603		

JP 05186465	A2	19930727	JP 1992-129155	19920521
JP 3229013	B2	20011112		
JP 05186463	A2	19930727	JP 1992-129163	19920521
JP 3330972	B2	20021007		
JP 2001354667	A2	20011225	JP 2001-136217	19920521
TW 467907	B	20011211	TW 1999-88109374	19920602
CN 1116204	A	19960207	CN 1995-102412	19950310
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CN 1229078	A	19990922	CN 1998-122383	19981203
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CN 1229079	A	19990922	CN 1998-122384	19981203
CN 1097049	B	20021225		
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			IL 1992-101931	19920520
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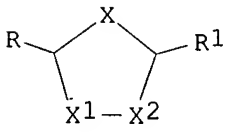

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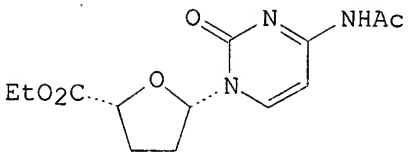
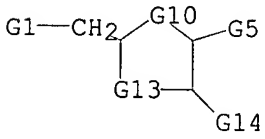


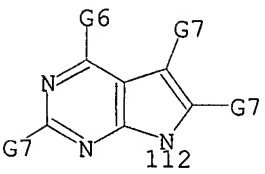
II

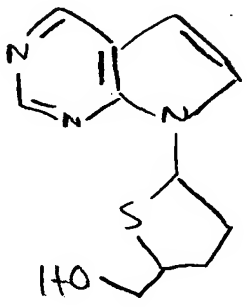
AB Nucleoside analogs I (X = S, SO, SO2, O, NR2, CH2; X1 = O, S, SO, SO2, NR2, CH2, CHF, CHN3, CHOH; X2 = O, S, CH2, CHF, CHOH; R = H, acyl; R1 = purine or pyrimidine base; R2 = H, OH, alkyl, acyl) were prepared by glycosidating a purine or pyrimidine base with I (R1 = leaving group) in presence of a Lewis acid. Thus, 5-oxo-2(R)-tetrahydrofurancarboxylic acid was esterified and reduced with disiamylborane to a 2:3 mixture of cis- and trans-alcs. This mixture of alcs. was acetylated and treated with N4-acetylcytosine to give the nucleoside analog II stereoselectively. Deacetylation and reduction of II gave β-L-2',3'-dideoxycytidine.

MSTR 1A



G1 = OH
G5 = 112



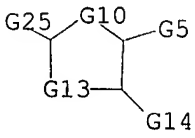


G10 = S
G13 = 105

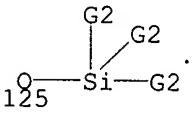
HC—G11
105

MPL: claim 1

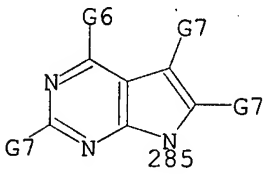
MSTR 4A

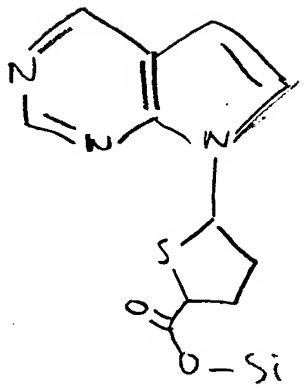


G1 = 125



G5 = 285





G10 = S
G13 = 105

HC—G11
105

G25 = 6

C(O)-G1
6

MPL: claim 14
NTE: incorporated claim 13

L24 ANSWER 32 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 118:234420 MARPAT
TITLE: Adenosine kinase inhibitors
INVENTOR(S): Browne, Clinton E.; Ugarkar, Bheemarao G.; Mullane,

Kevin M.; Gruber, Harry E.; Bullough, David A.; Erion, Mark D.; Castellino, Angelo

PATENT ASSIGNEE(S): Gensia Pharmaceuticals, Inc., USA
SOURCE: Eur. Pat. Appl., 87 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 496617	A1	19920729	EP 1992-300580	19920123
EP 496617	B1	19991201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
CA 2100863	AA	19920724	CA 1992-2100863	19920121
WO 9212718	A1	19920806	WO 1992-US515	19920121
W: AU, CA, FI, NO				
AU 665184	B2	19951221	AU 1992-13599	19920121
AU 9213599	A1	19920827		
JP 05112595	A2	19930507	JP 1992-10094	19920123
IL 100742	A1	19960618	IL 1992-100742	19920123
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NO 9302628	A	19930923	NO 1993-2628	19930721
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US 5646128	A	19970708	US 1994-349125	19941201

PRIORITY APPLN. INFO.:

US 1991-647117 19910123
US 1991-812916 19911223
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WO 1992-US515 19920121
US 1993-14190 19930203
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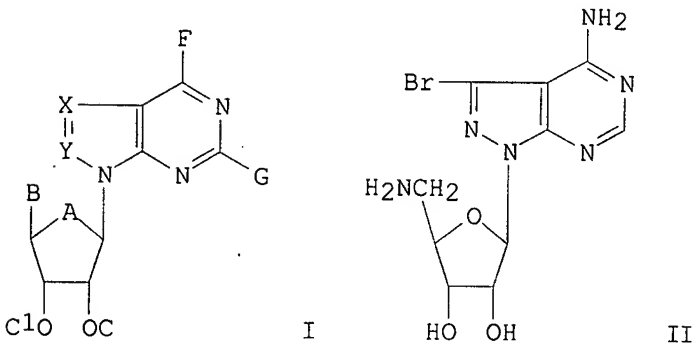
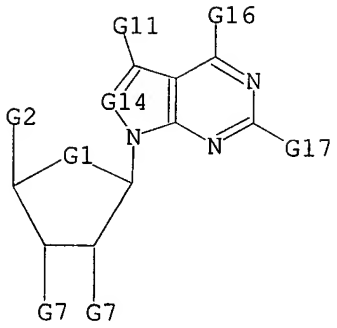
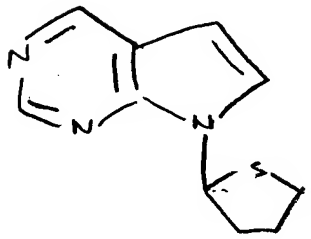


I II

AB Nucleoside analogs I [A = O, CH2, S; B = (un)substituted C1-4 alkyl; C, C1 = H, protective group(s); X = (un)substituted CH; Y = N, (un)substituted CH; F = alkyl, aryl, aralkyl, halogen, (un)substituted NH2, substituted OH or SH, cyano, cyanoalkyl; G = H, halogen, alkyl, alkoxy, alkylamino, alkylthio] were prepared Thus, the analog II was prepared from the pyrimidinone via the azide. II has an adenosine kinase-inhibiting ED50 of <10 nM and was effective in improving post-ischemic functional recovery in isolated guinea pig heart and in preclin. angina models.

MSTR 1A



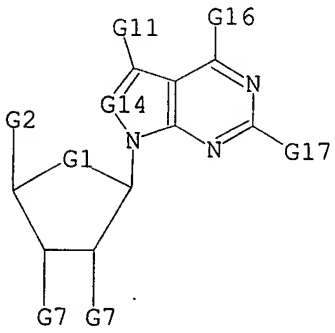


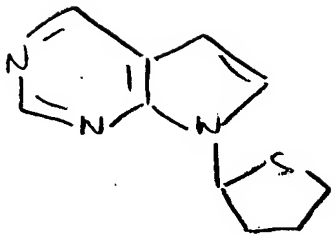
G1 = S
G3 = (1-4) CH2
G14 = 62

C—G15
62

G30 = O
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

MSTR 1B





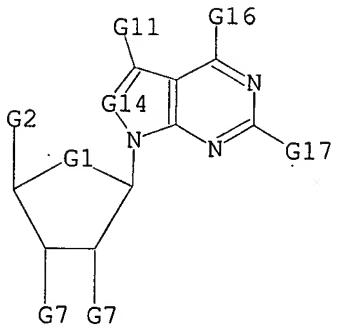
G1 = S
G3 = (1-4) CH2
G14 = 62

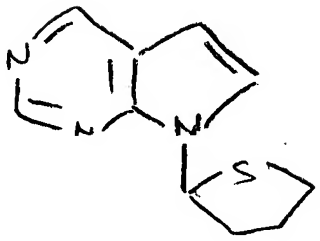
C—G15
62

G30 = O
DER: or pharmaceutically acceptable salts
MPL: claim 1

NTE: substitution is restricted

MSTR 1C



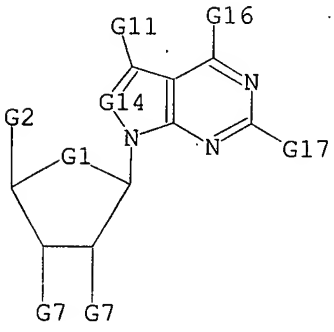


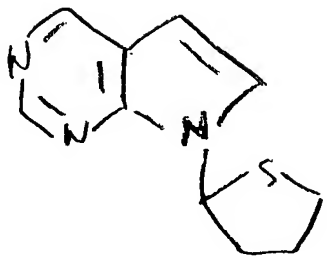
G1 = S
G3 = (1-4) CH2
G14 = 62

C—G15
62

G30 = O
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

MSTR 1D





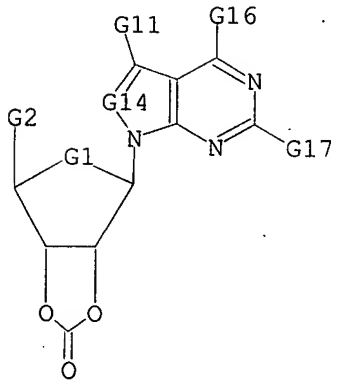
G1 = S
G3 = (1-4) CH2
G14 = 62

C—G15
62

G30 = O

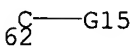
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

MSTR 1E



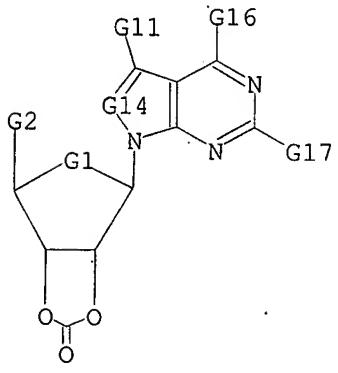
For 1E-I, see structures 1A-D in same Ref

G1 = S
G3 = (1-4) CH2
G14 = 62



G30 = O
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

MSTR 1F

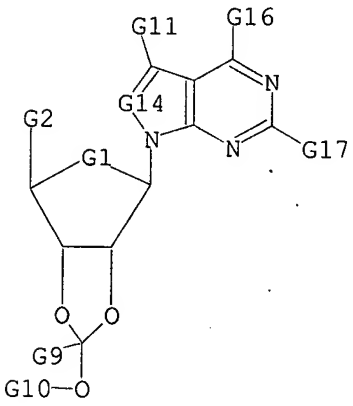


G1 = S
G3 = (1-4) CH2
G14 = 62

C——G15
62

G30 = O
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

MSTR 1G

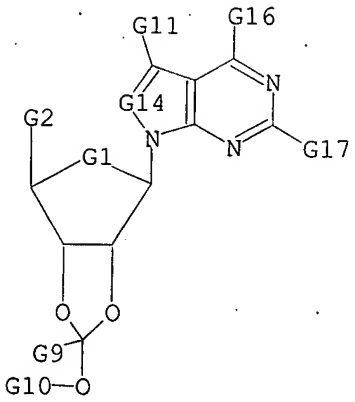


G1 = S
G3 = (1-4) CH2
G14 = 62

C——G15
62

G30 = O
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

MSTR 1H

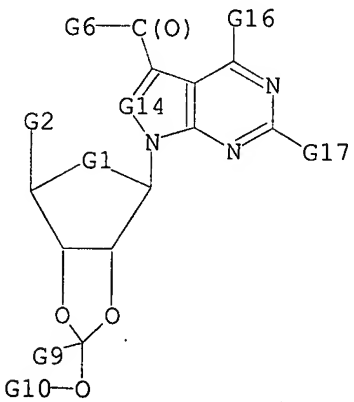


G1 = S
G3 = (1-4) CH2
G14 = 62

62 C——G15

G30 = O
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

MSTR 1I



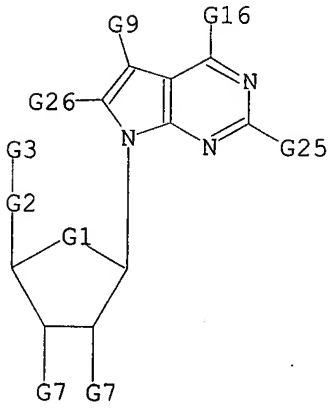
G1 = S
G3 = (1-4) CH2
G14 = 62

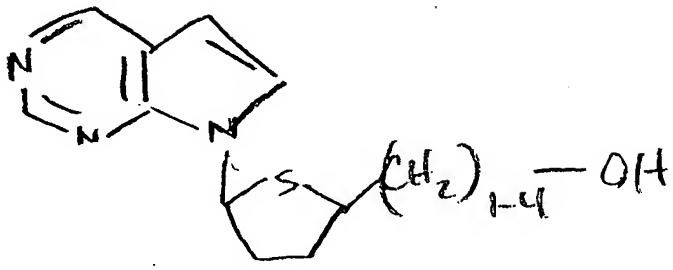
62 C——G15

G30 = O
DER: or pharmaceutically acceptable salts

MPL: claim 1
NTE: substitution is restricted

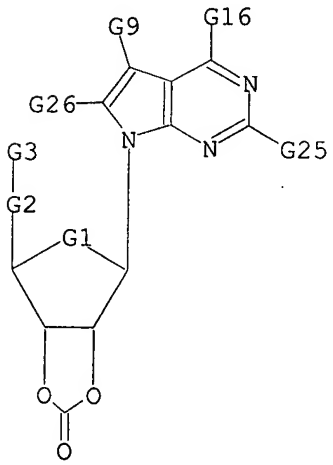
MSTR 3A





G1 = S
G2 = (1-4) CH2
G3 = OH
DER: or pharmaceutically acceptable salts
MPL: claim 3
NTE: substitution is restricted

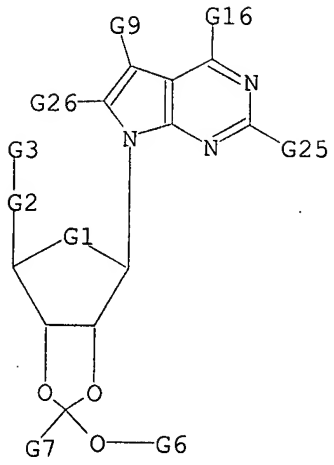
MSTR 3B



See MSTR 3A in same Ref

G1 = S
G2 = (1-4) CH2
G3 = OH
DER: or pharmaceutically acceptable salts
MPL: claim 3
NTE: substitution is restricted

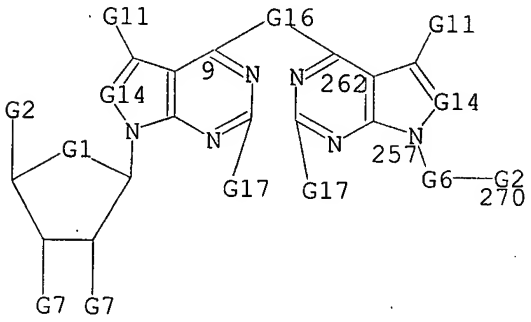
MSTR 3C

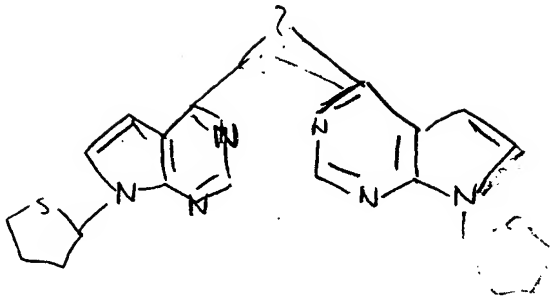


See MSTR 3A in same ref

G1 = S
G2 = (1-4) CH2
G3 = OH
DER: or pharmaceutically acceptable salts
MPL: claim 3
NTE: substitution is restricted

MSTR 4A



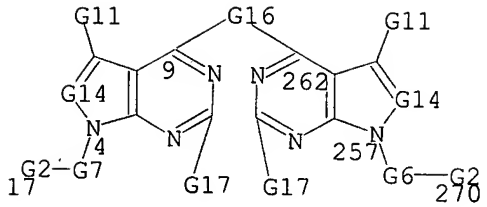


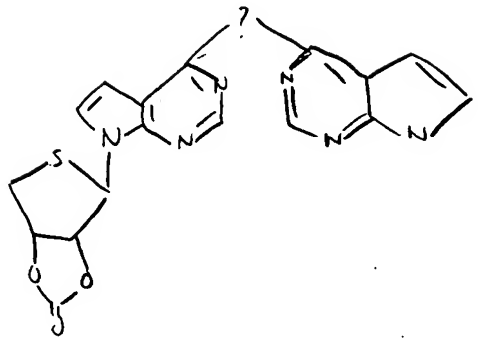
G1 = S
G3 = (1-4) CH2
G4 = OH
G14 = 62

C—G15
62

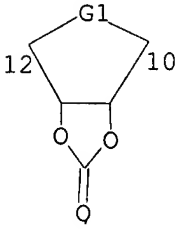
DER: or pharmaceutically acceptable salts
MPL: claim 5
NTE: substitution is restricted

MSTR 4B

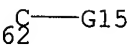




G1 = S
G3 = (1-4) CH2
G4 = OH
G7 = 12-17 10-4

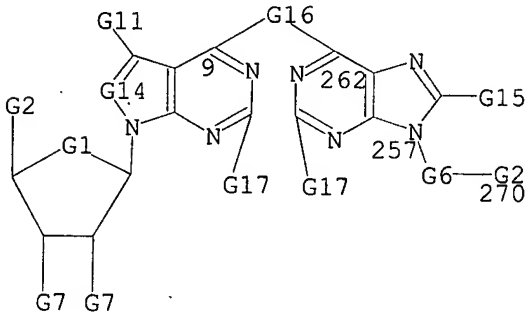


G14 = 62



DER: or pharmaceutically acceptable salts
MPL: claim 5
NTE: substitution is restricted

MSTR 4C



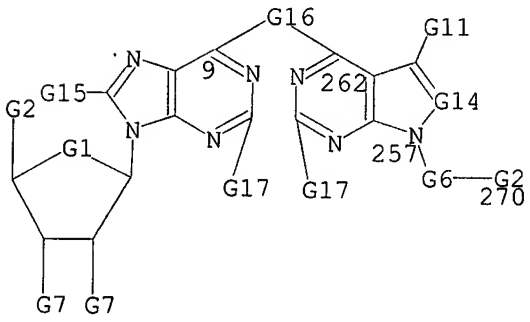
See MSTR 4A IN SAME Reference

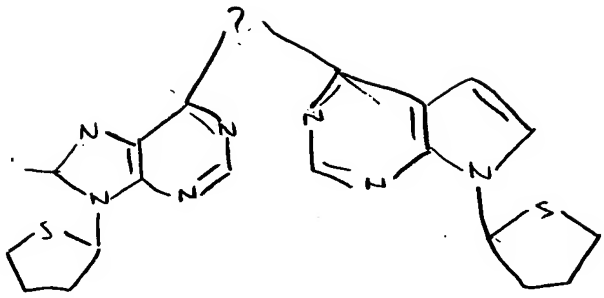
G1 = S
G3 = (1-4) CH2
G4 = OH
G14 = 62

C—G15
62

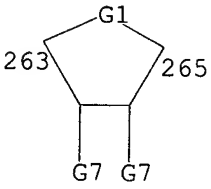
DER: or pharmaceutically acceptable salts
MPL: claim 5
NTE: substitution is restricted

MSTR 4D





G1 = S
G3 = (1-4) CH2
G4 = OH
G6 = 263-257 265-270

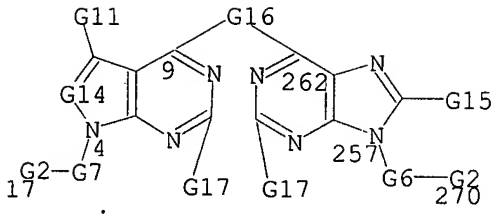


G14 = 62

C—G15
62

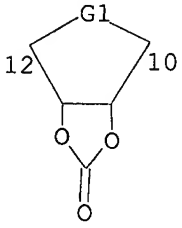
DER: or pharmaceutically acceptable salts
MPL: claim 5
NTE: substitution is restricted

MSTR 4F

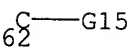


G1 = S
G3 = (1-4) CH2
G4 = OH
G7 = 12-17 10-4

See MSTR 4B IN Same Rel

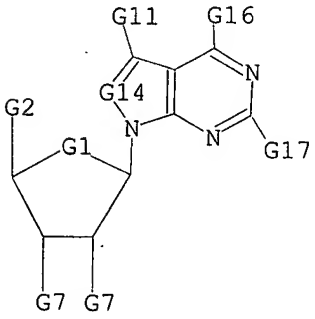


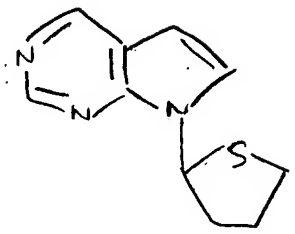
G14 = 62



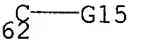
DER: or pharmaceutically acceptable salts
MPL: claim 5
NTE: substitution is restricted

MSTR 5A



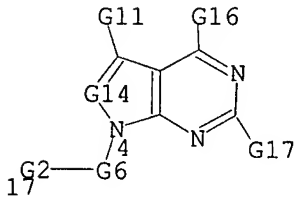


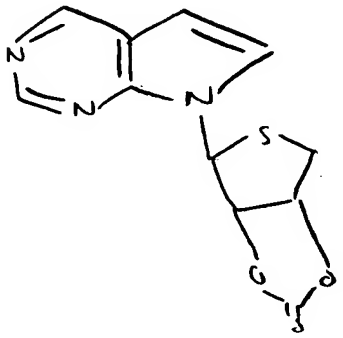
G1 = S
G3 = (1-4) CH2
G4 = OH
G14 = 62



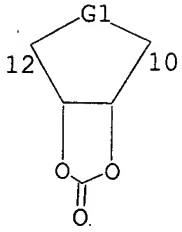
DER: or pharmaceutically acceptable salts or protected derivatives
MPL: claim 1
NTE: substitution is restricted

MSTR 5B

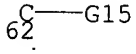




G1 = S
G3 = (1-4) CH2
G4 = OH
G6 = 12-17 10-4

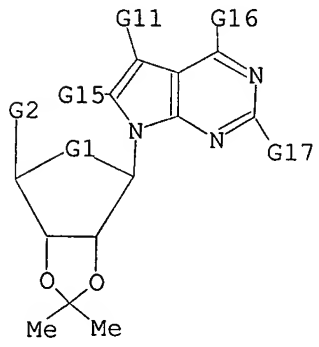


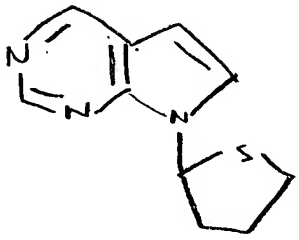
G14 = 62



DER: or pharmaceutically acceptable salts or protected derivatives
MPL: claim 1
NTE: substitution is restricted

MSTR 8





G1 = S
G3 = (1-4) CH2
G4 = OH
MPL: claim 1

L24 ANSWER 33 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 117:111988 MARPAT
TITLE: Preparation of 2o,3o-dideoxy-4o-thioribonucleosides as anti-HIV agents
INVENTOR(S): Montgomery, John A.; Secrist, John A., III
PATENT ASSIGNEE(S): Southern Research Institute, USA
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9116333	A1	19911031	WO 1991-US2732	19910419
W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 5128458	A	19920707	US 1991-639021	19910109
CA 2080916	AA	19911021	CA 1991-2080916	19910419
AU 9178551	A1	19911111	AU 1991-78551	19910419
EP 525106	A1	19930203	EP 1991-908921	19910419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05508152	T2	19931118	JP 1991-508844	19910419
NO 9204046	A	19921218	NO 1992-4046	19921019
PRIORITY APPLN. INFO.:			US 1990-513270	19900420
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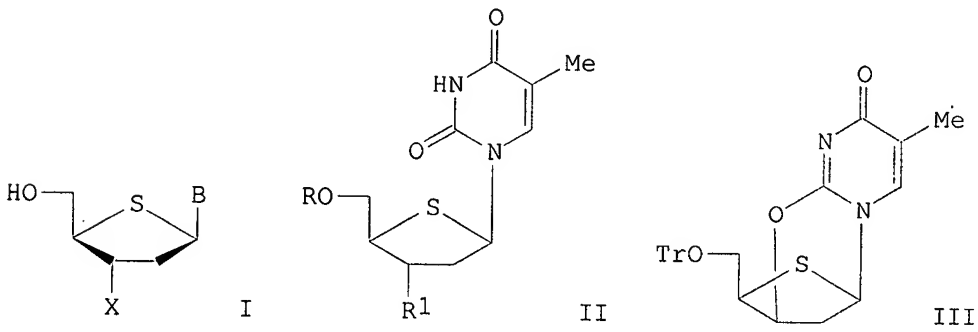
			WO 1991-US2732	19910419

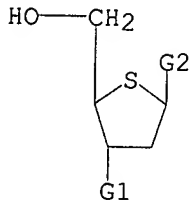
GI



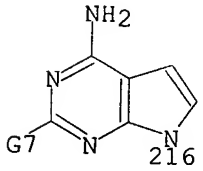
AB The title compds. [I; B = residue of pyrimidine, 5-azapyrimidine, 6-azapyrimidine, 3-deazapyrimidine, purine, 3-deazapurine, 7-deazapurine, 8-azapurine, 2-azapurine; X = H, N3, F] were prepared Thiodeoxyriboside II [R = H, R1 = OH] was 5'-tritylated, the resulting II [R = trityl, R1 = OH] treated with DAST in methylene dichloride, the anhydride III in DMF

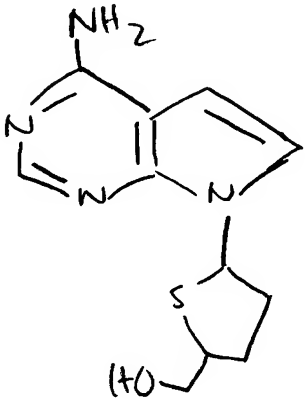
treated with NaN3, and the resulting II [R = trityl, R1 = N3] heated with AcOH at 60° for 2 h to give I [B = thymine residue, X = N3]. This had an IC50 of 80 μg/mL against HIV activity in MT-2 cells.

MSTR 1



G2 = 216



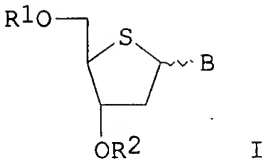


MPL: claim 1

L24 ANSWER 34 OF 34 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 115:115017 MARPAT
TITLE: Preparation of 2'-deoxy-4'-thioribonucleosides as antivirals and antitumors
INVENTOR(S): Montgomery, John A.; Secrist, John A., III
PATENT ASSIGNEE(S): Southern Research Institute, USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9104033	A1	19910404	WO 1990-US5252	19900914
W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
AU 9064014	A1	19910418	AU 1990-64014	19900914
EP 491793	A1	19920701	EP 1990-913760	19900914
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 05500666	T2	19930212	JP 1990-513081	19900914
JP 3207852	B2	20010910		
US 5591722	A	19970107	US 1994-354313	19941212
PRIORITY APPLN. INFO.:			US 1989-408040	19890915
			WO 1990-US5252	19900914
			US 1993-35689	19930323

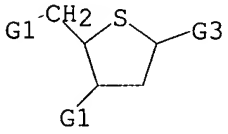
GI



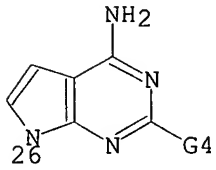
I

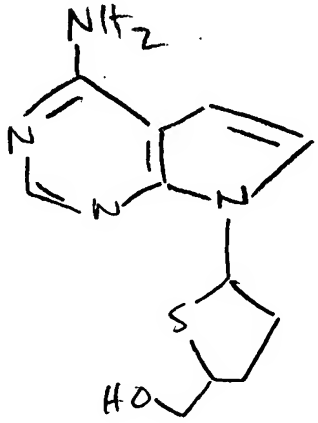
AB 2'-Deoxy-4'-thioribonucleosides I (B = (5-aza)pyrimidinyl, 6-azapyrimidinyl, 3-deazapyrimidinyl, purinyl, 3-deazapurinyl, 7-deazapurinyl, 8-azapurinyl, 2-azapurinyl, etc.; R1,R2 = H, acyl), useful for treatment of viral infections such as HSV-1 and HSV-2, and for treatment of leukemia and epidermoid carcinoma, were prepared For example, Me3SiNHSiMe3 and Me3SiCl were added to a suspension of 1-O-acetyl-2-deoxy-4-thio-3,5-di-O-p-toluoyl-α-β-D-ribofuranose (preparation given) and thymine in dry CH2Cl2 and the mixture was stirred 0.5 h at room temperature The resulting solution was cooled to -78°, Me3SiOSO2CF3 was added, and the solution was stirred at -78° for 1.5 h to give the 3,5-di-O-toluoyl protected 2'-deoxy-4'-thioribonucleoside, which was deprotected by NaOMe/MeOH to give 1-(2'-deoxy-4'-thio-β-ribofuranosyl)thymine (II). II showed IC50's of 0.8, 0.075, and 0.025 μg/mL against HSV-1 human epidermoid carcinoma number 2, and leukemia L1210, resp.

MSTR 1



G1 = OH
G3 = 26





MPL: claim 1

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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 27 Jan 2004 (20040127/PD)
FILE LAST UPDATED: 27 Jan 2004 (20040127/ED)
HIGHEST GRANTED PATENT NUMBER: US6684403
HIGHEST APPLICATION PUBLICATION NUMBER: US2004016035
CA INDEXING IS CURRENT THROUGH 27 Jan 2004 (20040127/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 27 Jan 2004 (20040127/PD)

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REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2003
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L18           0 SEA FILE=USPATFULL ABB=ON  PLU=ON  L16


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